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NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	AUG 10	Time limit for inactive STN sessions doubles to 40 minutes
NEWS	3	AUG 18	COMPENDEX indexing changed for the Corporate Source (CS) field
NEWS	4	AUG 24	ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced
NEWS	5	AUG 24	CA/CAplus enhanced with legal status information for U.S. patents
NEWS	6	SEP 09	50 Millionth Unique Chemical Substance Recorded in CAS REGISTRY
NEWS	7	SEP 11	WPIDS, WPINDEX, and WPIX now include Japanese FTERM thesaurus
NEWS	8	OCT 21	Derwent World Patents Index Coverage of Indian and Taiwanese Content Expanded
NEWS	9	OCT 21	Derwent World Patents Index enhanced with human translated claims for Chinese Applications and Utility Models
NEWS	10	OCT 27	Free display of legal status information in CA/CAplus, USPATFULL, and USPAT2 in the month of November.
NEWS	11	NOV 23	Addition of SCAN format to selected STN databases
NEWS	12	NOV 23	Annual Reload of IFI Databases

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,
AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

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FILE 'HOME' ENTERED AT 17:55:20 ON 23 NOV 2009

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=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.22       0.22

FILE 'REGISTRY' ENTERED AT 17:55:27 ON 23 NOV 2009
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STRUCTURE FILE UPDATES:   22 NOV 2009  HIGHEST RN 1193309-59-9
DICTIONARY FILE UPDATES:  22 NOV 2009  HIGHEST RN 1193309-59-9

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TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

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on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

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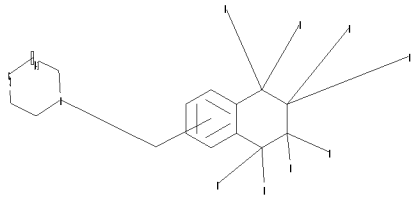

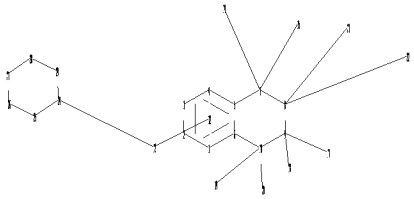

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12 14 15 17 18 19 20 22 23
ring nodes :
1 2 3 4 5 6 7 8 9 10 24 25 26 27 28 29
chain bonds :
7-14 7-15 8-22 8-23 9-17 9-18 10-19 10-20 12-24
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 24-25 24-29 25-26 26-27
27-28 28-29
exact/norm bonds :
5-7 6-10 7-8 7-14 7-15 8-9 8-22 8-23 9-10 9-17 9-18 10-19 10-20 12-24
24-25 24-29 25-26 26-27 27-28 28-29
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 24 :

G1:H,N

G2:C,H

G3:C,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
12:CLASS 14:CLASS 15:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 22:CLASS
23:CLASS 24:CLASS 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 32:Atom

<12/04/2007> Erich Leese

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L1      STRUCTURE UPLOADED

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SAMPLE SCREEN SEARCH COMPLETED -   119757 TO ITERATE

  1.7% PROCESSED     2000 ITERATIONS                                 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

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                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:      2374598 TO  2415682
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> s l1 full
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DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
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FULL SCREEN SEARCH COMPLETED -  2402134 TO ITERATE

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 83.3% PROCESSED  2000000 ITERATIONS                             190 ANSWERS
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SEARCH TIME: 00.00.23

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PROJECTED ANSWERS:             190 TO      273

L3          190 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                 186.36     186.58

FILE 'CAPLUS' ENTERED AT 17:56:37 ON 23 NOV 2009
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FILE COVERS 1907 - 23 Nov 2009 VOL 151 ISS 22
FILE LAST UPDATED: 22 Nov 2009 (20091122/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

During November, try the new LSUS format of legal status information in the CA/CAplus family databases for free! Complete details on the number of free displays and other databases participating in this offer appear in NEWS 10.

=> s l3 full
L4 20 L3

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THE ESTIMATED COST FOR THIS REQUEST IS 112.80 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L4 ANSWER 1 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:335893 CAPLUS
DOCUMENT NUMBER: 144:390943
TITLE: Preparation of arylpiperazine derivatives as tubulin inhibitors for treatment of proliferation or cancer
INVENTOR(S): Betzemeier, Bodo; Krist, Bernd; McConnell, Darryl; Steurer, Steffen; Impagnatiello, Maria; Weyer-Czernilofsky, Ulrike; Hilberg, Frank; Brueckner, Ralph; Daiimann, Georg; Heckel, Armin; Kley, Joerg; Lehmann-Lintz, Thorsten; Roth, Gerald
PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany
SOURCE: Eur. Pat. Appl., 55 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1645556	A1	20060412	EP 2004-23926	20041007
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PRIORITY APPLN. INFO.:			EP 2004-23926	20041007

OTHER SOURCE(S): CASREACT 144:390943; MARPAT 144:390943
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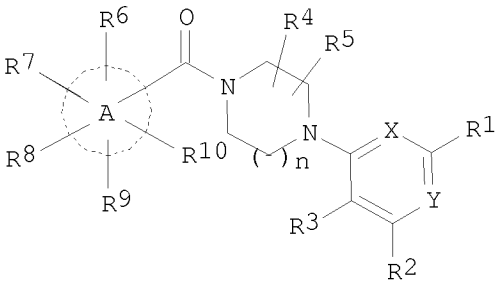

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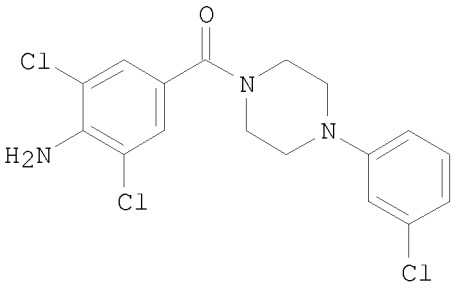


II

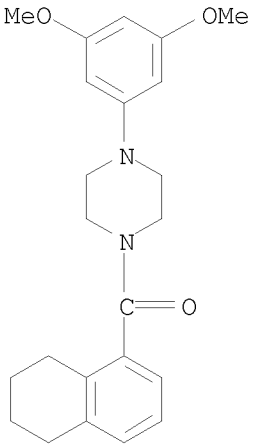
AB The title arylpiperazine derivs. I [wherein A = mono- or bicyclic aryl; R1 and R2 = independently H, halo, CN, (un)substituted alkyl, alkoxy, etc.; R3 = H, halo, CN, alkyl, or alkoxy; or R2 and R3 = (un)substituted -O-(CH2)p-O- ring; R4 and R5 = independently H or alkyl; R6-R10 =

independently H, halo, NO2, CN, (un)substituted alkyl, NH2, alkoxy, etc.; X and Y = independently CH, CF, or N; n and p = independently 1 or 2], or pharmaceutically acceptable salts, derivs., tautomers, or solvates thereof were prepared as tubulin inhibitors for the treatment of proliferative diseases or cancer (no data). For example, 4-amino-3,5-dichlorobenzoic acid was reacted with 1-(3-chlorophenyl)-piperazine in DMF at 50 °C in the presence of TBTU to give II (47 %). The title compds. showed inhibitory activity with IC50 < 10 μM in vitro cytotoxicity assay. Formulations as tablets, coated tablets, capsules, or ampoules were described.

IT 882695-10-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of arylpiperazine derivs. as tubulin inhibitors for treatment of proliferation or cancer)

RN 882695-10-5 CAPLUS

CN Methanone, [4-(3,5-dimethoxyphenyl)-1-piperazinyl](5,6,7,8-tetrahydro-1-naphthalenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:979643 CAPLUS
DOCUMENT NUMBER: 143:266686
TITLE: Preparation of tetralin derivatives as histamine H3 receptor antagonists
INVENTOR(S): Beavers, Lisa Selsam; Gadski, Robert Alan; Hipskind, Philip Arthur; Jesudason, Cynthia Darshini; Lindsley, Craig William; Lobb, Karen Lynn; Pickard, Richard Todd
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005082893	A2	20050909	WO 2005-US5491	20050222
WO 2005082893	A3	20060420		
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1720861	A2	20061115	EP 2005-723430	20050222
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
US 20070155754	A1	20070705	US 2006-598262	20060823
PRIORITY APPLN. INFO.:			US 2004-547758P P	20040225
			WO 2005-US5491 W	20050222

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 143:266686; MARPAT 143:266686
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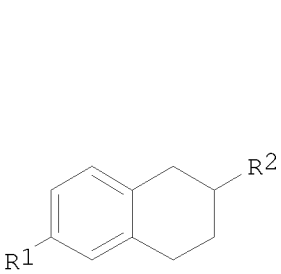

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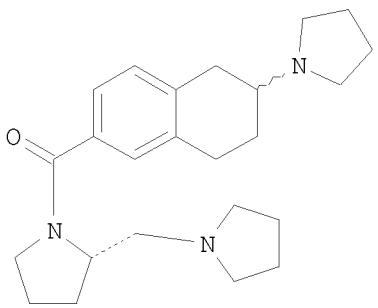


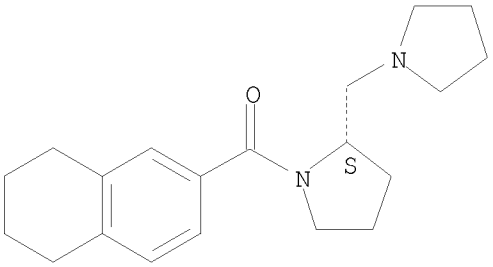
II

AB Tetralins of formula I [R1 = CH2NR3R4, CONR3R4, N-methylpiperazinocarbonyl; R2 = H, NH-alkyl, NR3R4, NH-cycloalkyl, N-methylpiperazino, piperidino, pyrrolidino, etc.; R3 = H, alkyl; R4 = alkyl, phenylalkylene; R3R4 = alkylene, etc.] are prepared which have histamine-H3 receptor antagonist activity. The invention discloses pharmaceutical compns. comprising compds. of formula I as well as methods of using them to treat obesity and other histamine H3 receptor-related diseases. Thus, II was prepared and had Ki value of 1.5 nM against GTP γ[35S].

IT 863925-32-0P 863925-33-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of tetralin derivs. as histamine H3 receptor antagonists)

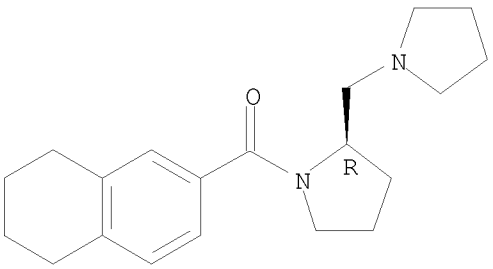
RN 863925-32-0 CAPLUS
CN Methanone, [(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl](5,6,7,8-tetrahydro-2-naphthalenyl)- (CA INDEX NAME)

Absolute stereochemistry.



RN 863925-33-1 CAPLUS
CN Methanone, [(2R)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl](5,6,7,8-tetrahydro-2-naphthalenyl)- (CA INDEX NAME)

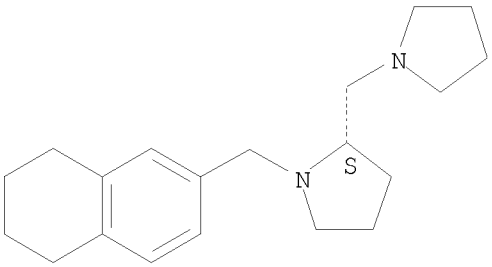
Absolute stereochemistry.



IT 863925-34-2P 863925-35-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetralin derivs. as histamine H3 receptor antagonists)

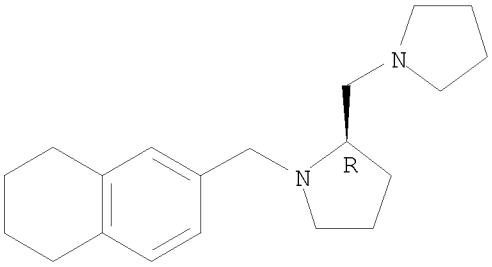
RN 863925-34-2 CAPLUS
CN Pyrrolidine, 2-(1-pyrrolidinylmethyl)-1-[(5,6,7,8-tetrahydro-2-naphthalenyl)methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 863925-35-3 CAPLUS
CN Pyrrolidine, 2-(1-pyrrolidinylmethyl)-1-[(5,6,7,8-tetrahydro-2-naphthalenyl)methyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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L4 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:354923 CAPLUS
DOCUMENT NUMBER: 140:375196
TITLE: Preparation of substituted piperazines, [1,4]diazepines, and 2,5-diazabicyclo[2.2.1]heptanes as histamine H1 and/or H3 antagonists or histamine H3 reverse antagonists
INVENTOR(S): Ancliff, Rachael; Eldred, Colin David; Fogden, Yvonne C.; Hancock, Ashley Paul; Heightman, Thomas Daniel; Hobbs, Heather; Hodgson, Simon Teanby; Lindon, Matthew J.; Wilson, David Matthew
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 140 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004035556	A1	20040429	WO 2003-EP11423	20031014
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EP 1567511	A1	20050831	EP 2003-772221	20031014
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JP 2006508935	T	20060316	JP 2004-544241	20031014
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JP 2004-544241 A3 20031014

NZ 2003-539446 A3 20031014
WO 2003-EP11423 W 20031014
IN 2005-KN566 A3 20050404
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 140:375196
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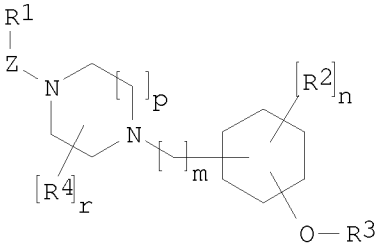

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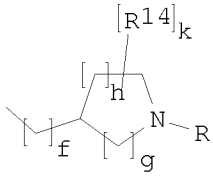

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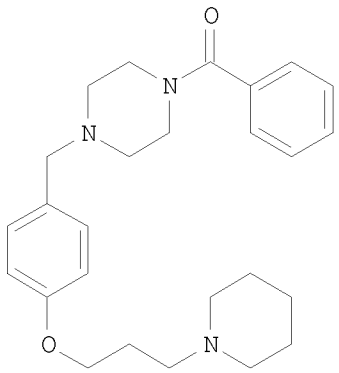


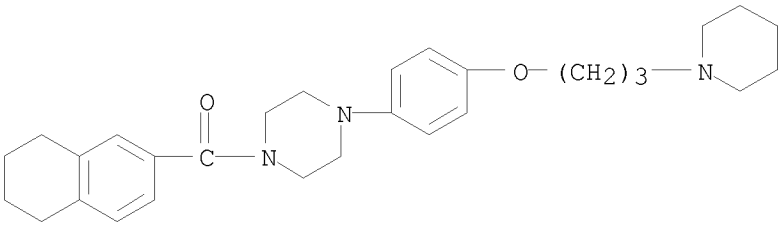
III

AB The title compds. [I; R1 = H, alkyl, alkoxy, etc.; Z = a bond, CO, (un)substituted CONH, SO2; p = 1-2; m, n, r = 0-2; R2 = halo, alkyl, alkoxy, etc.; R3 = (CH2)qNR11R12, II (wherein q = 2-4; R11, R12 = alkyl, cycloalkyl; NR11R12 = heterocyclyl; R13 = H, alkyl, cycloalkyl, etc.; R14 = halo, alkyl, haloalkyl, etc.; f, k = 0-2; g = 0-2; h = 0-3, such that g and h cannot both be 0); R4 = H, alkyl such that when r = 2, two R4 groups may instead be linked to form CH2, (CH2)2, (CH2)3; with the provisos], useful in the treatment of neurodegenerative disorders including Alzheimer's disease, and inflammatory diseases of the upper respiratory tract, were prepared Thus, reacting 1-[4-(3-piperidin-1-ylpropoxy)benzyl]piperazine.3HCl (preparation given) with benzoic acid afforded 77% III which was tested in the histamine H3 functional antagonist assay and showed pKb of > 6.5. The pharmaceutical composition comprising the compound I is claimed.

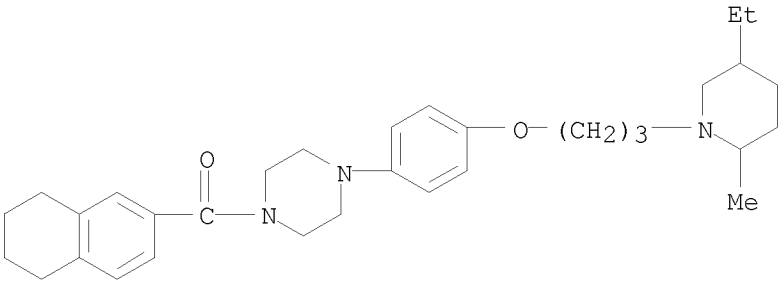
IT 684244-55-1P 684244-76-6P 684244-95-9P
684245-17-8P 684245-35-0P 684245-53-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted piperazines, [1,4]diazepines, and

2,5-diazabicyclo[2.2.1]heptanes as histamine H1 and/or H3 antagonists or histamine H3 reverse antagonists)

RN 684244-55-1 CAPLUS
CN Methanone, [4-[4-[3-(1-piperidinyl)propoxy]phenyl]-1-piperazinyl](5,6,7,8-tetrahydro-2-naphthalenyl)- (CA INDEX NAME)



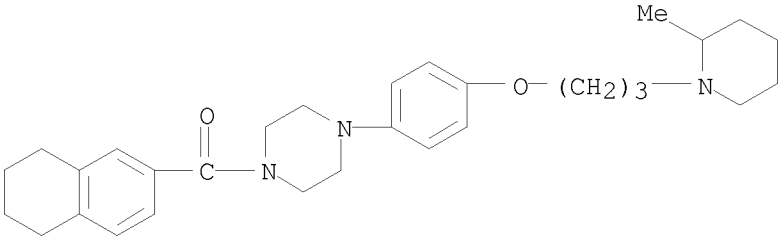
RN 684244-76-6 CAPLUS
CN Methanone, [4-[4-[3-(5-ethyl-2-methyl-1-piperidinyl)propoxy]phenyl]-1-piperazinyl](5,6,7,8-tetrahydro-2-naphthalenyl)- (CA INDEX NAME)



RN 684244-95-9 CAPLUS
CN Methanone, [4-[4-[3-(2-methyl-1-piperidinyl)propoxy]phenyl]-1-piperazinyl](5,6,7,8-tetrahydro-2-naphthalenyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

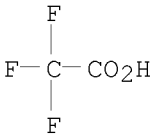
CM 1

CRN 684244-94-8
CMF C30 H41 N3 O2



CM 2

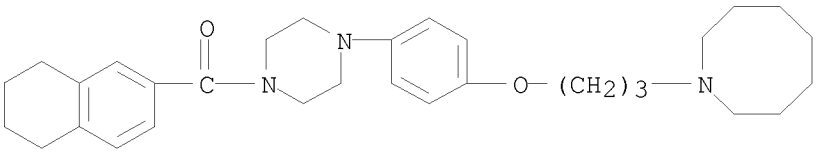
CRN 76-05-1
CMF C2 H F3 O2



RN 684245-17-8 CAPLUS
CN Methanone, [4-[4-[3-(hexahydro-1(2H)-azocinyl)propoxy]phenyl]-1-piperazinyl](5,6,7,8-tetrahydro-2-naphthalenyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

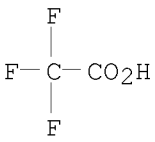
CM 1

CRN 684245-16-7
CMF C31 H43 N3 O2

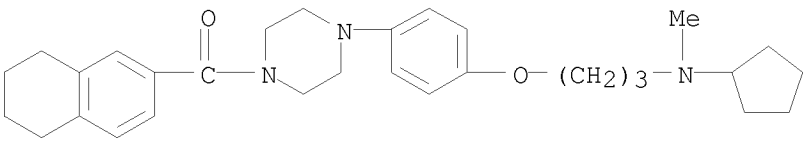


CM 2

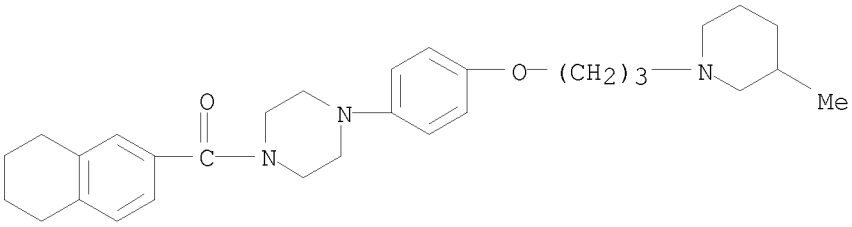
CRN 76-05-1
CMF C2 H F3 O2



RN 684245-35-0 CAPLUS
CN Methanone, [4-[4-[3-(cyclopentylmethylamino)propoxy]phenyl]-1-piperazinyl](5,6,7,8-tetrahydro-2-naphthalenyl)- (CA INDEX NAME)



RN 684245-53-2 CAPLUS
CN Methanone, [4-[4-[3-(3-methyl-1-piperidinyl)propoxy]phenyl]-1-piperazinyl](5,6,7,8-tetrahydro-2-naphthalenyl)- (CA INDEX NAME)



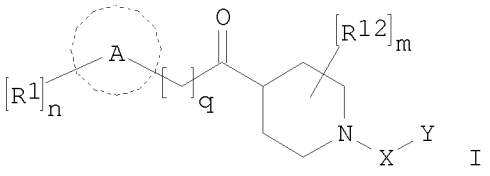
OS.CITING REF COUNT:	14	THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (19 CITINGS)
REFERENCE COUNT:	3	THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:333695 CAPLUS
DOCUMENT NUMBER: 140:339199
TITLE: Preparation of 1,4-disubstituted piperidine derivatives and their use as 11-βHSD1 inhibitors
INVENTOR(S): Barton, Peter John; Jewsbury, Philip John; Pease, Janet Elizabeth
PATENT ASSIGNEE(S): Astrazeneca Ab, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 144 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004033427	A1	20040422	WO 2003-GB4318	20031007
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2501611	A1	20040422	CA 2003-2501611	20031007
AU 2003269242	A1	20040504	AU 2003-269242	20031007
EP 1556349	A1	20050727	EP 2003-751021	20031007
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003015166	A	20050816	BR 2003-15166	20031007
CN 1723199	A	20060118	CN 2003-80105353	20031007
JP 2006506451	T	20060223	JP 2005-500993	20031007
NO 2005001600	A	20050613	NO 2005-1600	20050330
US 20050256159	A1	20051117	US 2005-529951	20050401
MX 2005003632	A	20050603	MX 2005-3632	20050405
ZA 2005002752	A	20060222	ZA 2005-2752	20050405

PRIORITY APPLN. INFO.:	GB 2002-23573	A	20021011
	GB 2003-10446	A	20030507
	WO 2003-GB4318	W	20031007

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 140:339199
GI



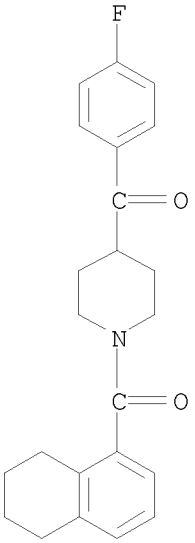
I

AB The title compds. [I; A = carbocyclyl, heterocyclyl; R1 = halo, NO2, CN, OH, etc.; n = 0-5; X = a bond, CO, SO2, CONR11, CSNR11, C(O)O, C(:NR11), CH2 (wherein R11 = H, alkyl, carbocyclyl, heterocyclyl); Y = H, alkyl, alkenyl, carbocyclyl, etc.; R12 = OH, Me, Et. Pr; m, q = 0-1], useful in the manufacture of a medicament for treating diabetes, obesity, hyperlipidemia, etc., were prepared Thus, reacting (4-chlorophenyl)(4-piperidyl)methanone.HCl with 4-fluorobenzoyl chloride in the presence of Et3N in DCM afforded 29% 1-(4-fluorobenzoyl)-4-(4-chlorobenzoyl)piperidine. The compds. I typically show an IC50 < 10 μM against 11βHSD1. The pharmaceutical composition comprising the compound I is claimed.

IT 681130-55-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1,4-disubstituted piperidine derivs. and their use as 11-βHSD1 inhibitors)

RN 681130-55-2 CAPLUS

CN Piperidine, 4-(4-fluorobenzoyl)-1-[(5,6,7,8-tetrahydro-1-naphthalenyl)carbonyl]- (9CI) (CA INDEX NAME)



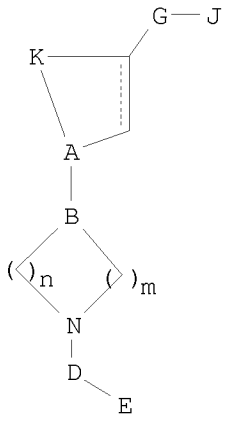
OS.CITING REF COUNT: 19 THERE ARE 19 CAPLUS RECORDS THAT CITE THIS RECORD (29 CITINGS)

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

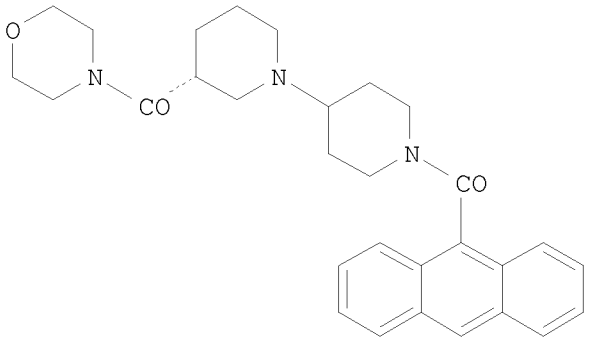
L4 ANSWER 5 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:696782 CAPLUS
DOCUMENT NUMBER: 139:230625
TITLE: Preparation of bipiperidinyl and related compounds as acetyl CoA carboxylase inhibitors useful against metabolic syndrome and other disorders
INVENTOR(S): Perry, David Austen; Harwood, Harold James, Jr.
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 181 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003072197	A1	20030904	WO 2003-IB573	20030217
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003248354	A1	20030909	AU 2003-248354	20030217
EP 1478437	A1	20041124	EP 2003-742882	20030217
EP 1478437	B1	20050831		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1642599	A	20050720	CN 2003-806990	20030217
AT 303178	T	20050915	AT 2003-742882	20030217
ES 2246481	T3	20060216	ES 2003-742882	20030217
NZ 534582	A	20060331	NZ 2003-534582	20030217
US 20030187254	A1	20031002	US 2003-370844	20030220
US 6979741	B2	20051227		
IN 2004DN02289	A	20070302	IN 2004-DN2289	20040806
ZA 2004006332	A	20050928	ZA 2004-6332	20040810
NO 2004004034	A	20041124	NO 2004-4034	20040924
PRIORITY APPLN. INFO.:			US 2002-365358P P	20020227
			WO 2003-IB573 W	20030217

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 139:230625
GI



I



II

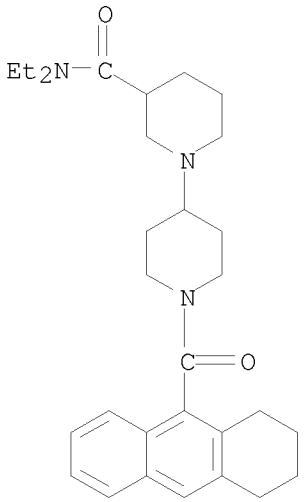
AB Acetyl CoA carboxylase (ACC) inhibitors (shown as I; variables defined below; most examples include the bipiperidinyl ring system, e.g. (anthracen-9-yl)[(3R)-3-(morpholine-4-carbonyl)[1,4']bipiperidinyl-1'-yl]methanone), pharmaceutical compns. containing such compds. and the use of such compds. to treat for example, Metabolic Syndrome, atherosclerosis, diabetes and obesity are disclosed. None of pharmacol. activity, therapeutic uses and methods of preparation is claimed and pharmacol. data are not included. More than 200 example prepns. and/or characterization data are included for I and intermediates. For I: A-B is N-CH or CH-N; K is (CH2)r (r = 2-4); m and n = 1-3 when A-B is N-CH or 2 or 3 when A-B is CH-N; the dashed line = the presence of an optional double bond; D is carbonyl or sulfonyl. E is either (a) a bicyclic ring consisting of two fused fully unsatd. 5-7 membered rings, taken independently, each of said rings optionally having 1-4 heteroatoms = O, S and N; or (b) a tricyclic ring consisting of two fused fully unsatd. 5-7 membered rings, taken independently, each of said rings optionally having 1-4 heteroatoms = O, S and N, said two fused rings fused to a 3rd partially saturated, fully unsatd. or fully saturated 5-7 membered ring, said 3rd ring optionally having 1-4 heteroatoms = O, S and N. Or (c) a tetracyclic ring comprising a bicyclic ring consisting of two fused fully unsatd. 5-7 membered rings, taken independently, each of said rings optionally having 1-4 heteroatoms = O, S and N, said bicyclic ring fused to two fully saturated, partially saturated or fully unsatd. 5-7 membered monocyclic rings taken independently, each of said rings optionally having 1-4 heteroatoms = O, S and N or said bicyclic ring fused to a 2nd bicyclic ring consisting of two fused fully saturated, partially saturated or fully unsatd. 5-7 membered rings, taken independently, each of said rings optionally having 1-4 heteroatoms = O, S and N; or (d) a teraryl ring comprising a fully unsatd. 5-7 membered ring, said ring optionally having 1-4 heteroatoms = O, S and N, and said ring disubstituted independently with a fully unsatd. 5-7 membered ring to form a teraryl nonfused ring system, each of said substituent rings optionally having 1-4 heteroatoms = O, S and N. G is carbonyl, sulfonyl or CR7R8 (R7 and R8 = H, (C1-C6)alkyl, (C2-C6) alkenyl or (C2-C6)alkynyl or a 5-7 membered partially saturated, fully saturated or fully unsatd. ring optionally having one heteroatom = O, S and N); J is OR1, NR2R3 or CR4R5R6; addnl. details including provisos are given in the claims.

IT 591781-07-6P, 1'-(1,2,3,4-Tetrahydroanthracen-9-ylcarbonyl)[1,4']bipiperidinyl-3-carboxylic acid diethylamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of bipiperidinyl and related compds. as acetyl CoA carboxylase inhibitors useful against metabolic syndrome and other disorders)

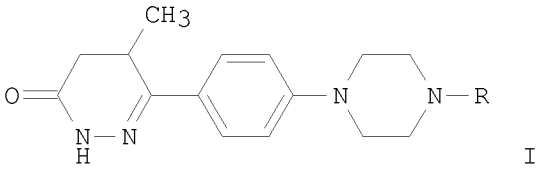
RN 591781-07-6 CAPLUS

CN [1,4'-Bipiperidine]-3-carboxamide, N,N-diethyl-1'-[(1,2,3,4-tetrahydro-9-anthracenyl)carbonyl]- (CA INDEX NAME)



OS.CITING REF COUNT:	16	THERE ARE 16 CAPLUS RECORDS THAT CITE THIS RECORD (30 CITINGS)
REFERENCE COUNT:	2	THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:91070 CAPLUS
DOCUMENT NUMBER: 132:166198
TITLE: Synthesis and platelet aggregation inhibitory activity of 6- [(4-substituted-piperazinyl)phenyl]-5-methyl-4,5-dihydro-3(2H)pyridazinones
AUTHOR(S): Wu, Qiuye; Ni, Jin; Jiang, Yuanying; Liu, Chaomei; Wu, Bo; Zhang, Guangming; Yao, Jiayong
CORPORATE SOURCE: Faculty of Pharmacy, Second Military Medical University, Shanghai, 200433, Peop. Rep. China
SOURCE: Zhongguo Yaowu Huaxue Zazhi (1999), 9(4), 259-263
CODEN: ZYHZEF; ISSN: 1005-0108
PUBLISHER: Zhongguo Yaowu Huaxue Zazhi Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
GI

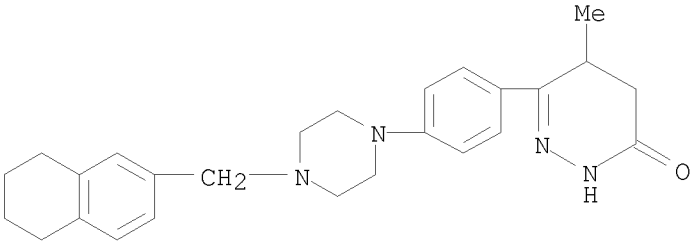


I

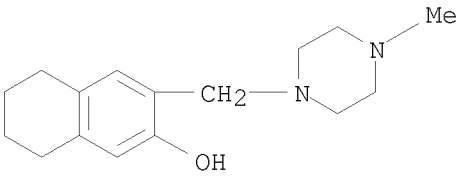
AB Title compds. I (R = CH3, CH3CH2, CH3(CH2)3, (CH3)2CHCH2CH2, CH3(CH2)7, CH3(CH2)11, CH3(CH2)15, C6H5CH2, 4-ClC6H4CH2, 2-ClC6H4CH2, 3-ClC6H4CH2, 4-CH3C6H4CH2, CH3OCOCH2, 4-CH3CH2OCO-C6H4CH2) were prepared from N-acetylaniline via acylation, hydrolysis, cyclization and substitution. The results of preliminary pharmacol. tests showed that all the synthetic compds. had activity against platelet aggregation induced by ADP in vitro in rabbits.

IT 259140-66-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and platelet aggregation inhibitory activity of 6-methyl-6-piperazinylphenyldihydropyridazinones)

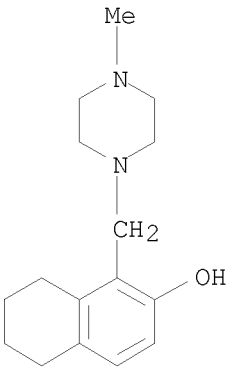
RN 259140-66-4 CAPLUS
CN 3(2H)-Pyridazinone, 4,5-dihydro-5-methyl-6-[4-[4-[(5,6,7,8-tetrahydro-2-naphthalenyl)methyl]-1-piperazinyl]phenyl]- (CA INDEX NAME)



L4 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:62206 CAPLUS
DOCUMENT NUMBER: 132:207835
TITLE: Regioselective aminomethylations of bicyclic phenols
AUTHOR(S): Lange, Jos; Hoogeveen, Sonja; Veerman, Willem; Wals, Henri
CORPORATE SOURCE: Medicinal Chemistry Department, Solvay Pharmaceuticals Research Laboratories, Weesp, 1380 DA, Neth.
SOURCE: Heterocycles (2000), 53(1), 197-204
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:207835
AB The regioselectivity in the aminomethylation, Mannich reaction, of bicyclic phenols was studied. Highly regioselective Mannich reactions enable easy synthetic access to novel bicyclic [(dialkylamino)methyl]phenols under very mild reaction conditions.
IT 260394-47-6P 260394-48-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(regioselective aminomethylation of bicyclic phenols)
RN 260394-47-6 CAPLUS
CN 2-Naphthalenol, 5,6,7,8-tetrahydro-3-[(4-methyl-1-piperazinyl)methyl]- (CA INDEX NAME)



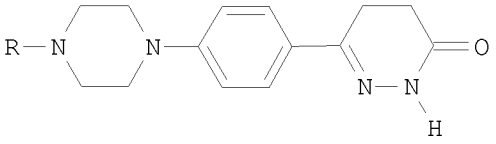
RN 260394-48-7 CAPLUS
CN 2-Naphthalenol, 5,6,7,8-tetrahydro-1-[(4-methyl-1-piperazinyl)methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:729780 CAPLUS
DOCUMENT NUMBER: 132:222471
TITLE: Synthesis and platelet aggregation activity of 6-[4-substituted-piperazinyl)phenyl]-4,5-dihydro-3(2H)-pyridazinones
AUTHOR(S): Wu, Qiuye; Zhang, Guangming; Liao, Hongli; Liu, Chaomei
CORPORATE SOURCE: Faculty of Pharmacy, Second Military Medical Univ., Shanghai, 200433, Peop. Rep. China
SOURCE: Zhongguo Yaowu Huaxue Zazhi (1999), 9(3), 172-175, 185
CODEN: ZYHZEF; ISSN: 1005-0108
PUBLISHER: Zhongguo Yaowu Huaxue Zazhi Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
GI

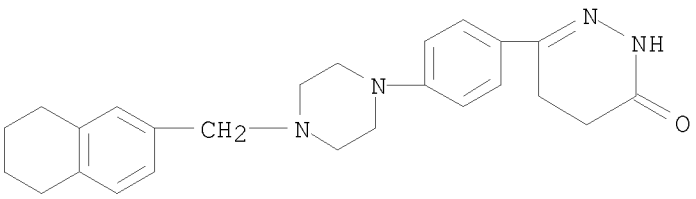


I

AB Eighteen title compds. I (R = CH3, CH3CH2, CH3(CH2)3, (CH3)2CHCH2CH2, CH3(CH2)7, CH3(CH2)11, CH3(CH2)12, CH3(CH2)15, C6H5CH2, 4-ClC6H4CH2, 3-ClC6H4CH2, 2-ClC6H4CH2, 4-CH3C6H4CH2, NCCH2CH2, CH3OCOCH2,4-CH3CH2OCOC6H4CH2) were prepared and showed activity against platelet aggregation induced by ADP in vitro in rabbits as antithrombotic drugs. The title compound 6-[(4-n-Octylpiperazin-1-yl)phenyl]-4,5-dihydro-3(2H)- pyridazinone was the most potent.

IT 260979-38-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and platelet aggregation activity of substituted piperazinylphenyldihydropyridazinones)

RN 260979-38-2 CAPLUS
CN 3(2H)-Pyridazinone, 4,5-dihydro-6-[4-[4-[(5,6,7,8-tetrahydro-2-naphthalenyl)methyl]-1-piperazinyl]phenyl]- (CA INDEX NAME)



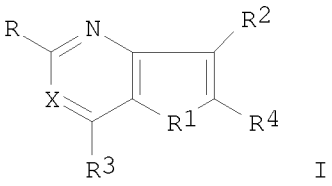
L4 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:511159 CAPLUS
DOCUMENT NUMBER: 131:157709
TITLE: Preparation of bicyclic pyridine and pyrimidine derivatives as neuropeptide Y receptor antagonists
INVENTOR(S): Norman, Mark H.; Chen, Ning; Han, Nianhe; Liu, Longbin; Hurt, Clarence R.; Fotsch, Christopher H.; Jenkins, Tracy J.; Moreno, Ofir A.
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: PCT Int. Appl., 469 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9940091	A1	19990812	WO 1999-US2500		19990205
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW					
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
US 6187777	B1	20010213	US 1999-246775		19990204
CA 2319275	A1	19990812	CA 1999-2319275		19990205
CA 2319275	C	20071016			
AU 9926590	A	19990823	AU 1999-26590		19990205
AU 747920	B2	20020530			
EP 1054887	A1	20001129	EP 1999-906756		19990205
EP 1054887	B1	20060412			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY					
JP 2003502272	T	20030121	JP 2000-530520		19990205
AT 323088	T	20060415	AT 1999-906756		19990205
ES 2257851	T3	20060801	ES 1999-906756		19990205
ZA 9900967	A	19990806	ZA 1999-967		19990208
MX 2000007662	A	20010219	MX 2000-7662		20000804
US 6583154	B1	20030624	US 2000-640263		20000816

PRIORITY APPLN. INFO.:

US 1998-73927P	P	19980206
US 1998-73981P	P	19980206
US 1998-93482P	P	19980720
US 1998-93577P	P	19980720
US 1999-246775	A	19990204
WO 1999-US2500	W	19990205

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 131:157709
GI



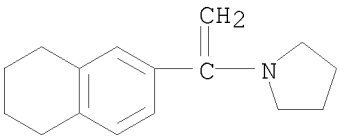
I

AB Title compds.[I; R = H, CH3, (CH3)2CH, SCH3, CH3CH2, NH2, CF3, NHCOC6H5, cyclopropyl, CH2OH, (CH3)2CH2CH2, N(CH3)2, OCH3, NHCH3, NH(CH2)4NH2; R1 = NH, S, NCH3, O; R2 = H, COCH3, C6H5, CH3, CH3CH2; R3 = NH2, CH3, NHC6H5, N(CH2CH3)2, (CH3CH2)N(CH2)3CH3, (CH3)N(CH2)2NHCH3, N(CH3)CH(CH3)CH(Ph)OH, (CH3CH2)NCH2C(CH3):CH2, NHCH2CF3, NHCH2CH2C6H5, NH(CH2)3OCH2CH3, 4-ClC6H4, 4-CH3OC6H5, 2-thienyl, 1-pyrrolidinyl, 1-piperidinyl, 4-morpholinyl, 1-piperazinyl, 3-pyridyl; R4 = C6H5, 4-CH3C6H4, 4-ClC6H4, (CH3)3C, 4-FC6H4, 3-HOC6H4, 2-pyridyl, cyclohexyl, 2-furyl, 2-FC6H4 2-thienyl, 1-adamantyl, CH3, 4-CH3OC6H4; X = N, CH; etc.], pharmaceutical acceptable salts, ester, solvate, and N-oxide are prepared and tested as neuropeptide Y receptor antagonists in the modulation of feeding behavior, obesity, diabetes, cancer, inflammatory disorders, depression, stress related disorders, Alzheimer's disease and other disease conditions. Thus, the title compound I (R = CH3; R1 = NH; X = N; R2 = H; R3 = N(CH2CH3)2; R4 = C6H5) was prepared

IT 237436-39-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrrolopyridine and pyrrolopyrimidine derivs. as neuropeptide Y receptor antagonists)

RN 237436-39-4 CAPLUS

CN Pyrrolidine, 1-[1-(5,6,7,8-tetrahydro-2-naphthalenyl)ethenyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 25 THERE ARE 25 CAPLUS RECORDS THAT CITE THIS RECORD (29 CITINGS)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:495272 CAPLUS
DOCUMENT NUMBER: 131:130011
TITLE: Preparation of N-acyl-2-aminoacetamides and cyclization products thereof.
INVENTOR(S): Hulme, Christopher; Morton, George C.; Salvino, Joseph M.; Labaudiniere, Richard F.; Mason, Helen J.; Morrissette, Matthew M.; Ma, Liang; Cherrier, Marie-Pierre
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 156 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9938844	A1	19990805	WO 1999-US1923	19990129
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2318601	A1	19990805	CA 1999-2318601	19990129
AU 9924821	A	19990816	AU 1999-24821	19990129
AU 747987	B2	20020530		
ZA 9900729	A	20000110	ZA 1999-729	19990129
EP 1051397	A1	20001115	EP 1999-904421	19990129
EP 1051397	B1	20081231		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY				
BR 9908207	A	20001128	BR 1999-8207	19990129
JP 2002501944	T	20020122	JP 2000-530081	19990129
HU 2001001329	A2	20020328	HU 2001-1329	19990129
HU 2001001329	A3	20020729		
CN 1173946	C	20041103	CN 1999-802503	19990129
AP 1462	A	20050930	AP 2000-1864	19990129
W: GH, GM, KE, LS, MW, SD, SZ, UG, ZW				
IL 137571	A	20061210	IL 1999-137571	19990129
AT 419233	T	20090115	AT 1999-904421	19990129
US 6492553	B1	20021210	US 1999-368213	19990804
NO 2000003792	A	20000927	NO 2000-3792	20000724
NO 324067	B1	20070806		
MX 2000007555	A	20010219	MX 2000-7555	20000801
BG 104724	A	20010330	BG 2000-104724	20000829
BG 65057	B1	20070131		

PRIORITY APPLN. INFO.:	US 1998-73007P	A2	19980129
	US 1998-98404P	A2	19980831
	US 1998-98708P	A2	19980901
	US 1998-101056P	A2	19980918
	WO 1999-US1923	W	19990129

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

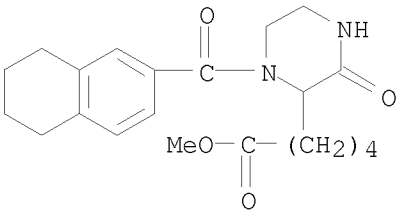
OTHER SOURCE(S): MARPAT 131:130011

AB RaRbNCRcaRcbRd Ra = RaaCO; Dd = CONHRda; Raa, Rb, Rca, Rcb = H, (substituted) aliphatyl, aryl; Rda = (substituted) aliphatyl, aryl; with provisos were prepared by reaction of RcaCORcb with RbNH2, RaCO2H, and NCRda. Title compds. may be prepared on a isocyanide resin and deprotected/cyclized to give 1,4-benzodiazepine-2,5-diones, diketopiperazines, ketopiperazines, lactams, 1,4-benzodiazapines, and dihydroquinoxalinones.

IT 234781-39-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of N-acyl-2-aminoacetamides and cyclization products thereof)

RN 234781-39-6 CAPLUS

CN 2-Piperazinepentanoic acid, 3-oxo-1-[(5,6,7,8-tetrahydro-2-naphthalenyl)carbonyl]-, methyl ester (CA INDEX NAME)



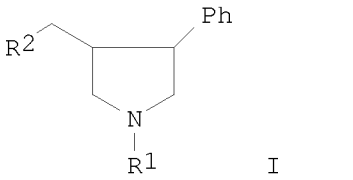
OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:172595 CAPLUS
DOCUMENT NUMBER: 130:223167
TITLE: Preparation of piperidinylpyrrolidins as modulators of chemokine receptor activity
INVENTOR(S): Budhu, Richard J.; Hale, Jeffrey J.; Holson, Edward; Lynch, Christopher; Maccoss, Malcolm; Mills, Sander G.; Berk, Scott C.; Willoughby, Christopher A.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 262 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9909984	A1	19990304	WO 1998-US17755		19980827
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU					
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2298813	A1	19990304	CA 1998-2298813		19980827
AU 9892067	A	19990316	AU 1998-92067		19980827
EP 1009405	A1	20000621	EP 1998-944548		19980827
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO					
US 6166037	A	20001226	US 1998-141227		19980827
JP 2001526178	T	20011218	JP 2000-507374		19980827
PRIORITY APPLN. INFO.:			US 1997-57743P	P	19970828
			GB 1998-1009	A	19980116
			WO 1998-US17755	W	19980827

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 130:223167
GI



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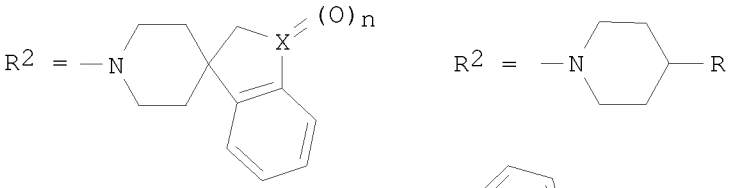
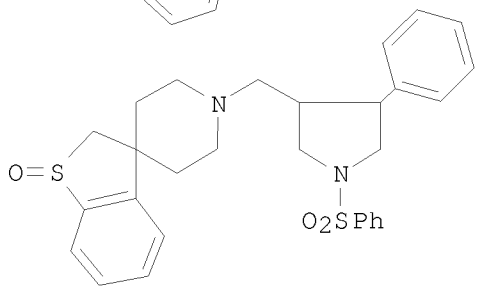




II

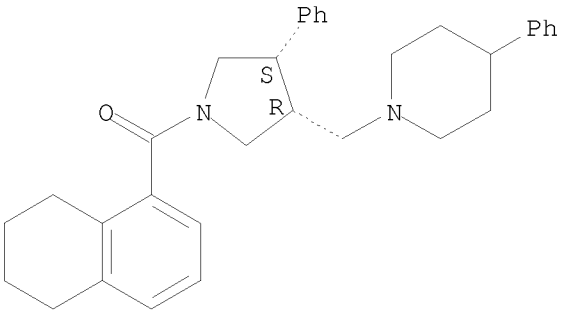
AB Title modulators [I; R1 = CH2Ph, SO2Ph, CONHPh, H, COPh, (CH2)3Ph, 1-fluorenecarbonyl, etc.; R = OH, H, Ph, CF3, CH2Ph, etc.; n = 0-2; S = S, C; R2 = benzo[d]azepin-3-yl, 4-phenyl-perhydroazepin-1-yl, etc.], pharmaceutically acceptable salts thereof, individual diastereomers, and enantiomers thereof are prepared as modulators of chemokine receptor activity. 21X19 combinatorial library was mentioned using com. available 4-sulfamylbenzoyl polystyrene resin supported subunits (21 pools) of trifluoromethylsulfonyl chloride, arylsulfonyl(carbonyl) chlorides, and heterocyclic sulfonyl(carbonyl) chlorides. Thus, compound II was prepared from Me (Z)-cinnamate and N-(methoxymethyl)-N-(trimethylsilylmethyl)benzylamine via seven steps.

IT 221141-11-3P 221157-12-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of piperidinylpyrrolidins as modulators of chemokine receptor activity)

RN 221141-11-3 CAPLUS

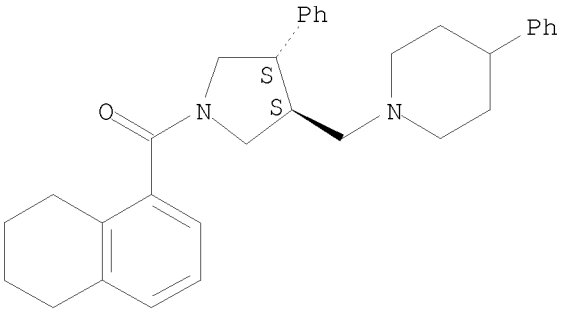
CN Methanone, [(3R,4S)-3-phenyl-4-[(4-phenyl-1-piperidinyl)methyl]-1-pyrrolidinyl](5,6,7,8-tetrahydro-1-naphthalenyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 221157-12-6 CAPLUS
CN Methanone, [(3R,4R)-3-phenyl-4-[(4-phenyl-1-piperidinyl)methyl]-1-pyrrolidinyl](5,6,7,8-tetrahydro-1-naphthalenyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.

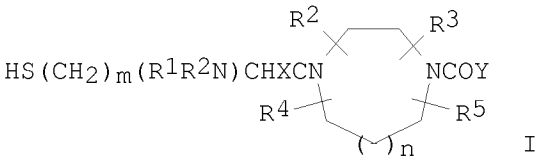


OS.CITING REF COUNT:	34	THERE ARE 34 CAPLUS RECORDS THAT CITE THIS RECORD (34 CITINGS)
REFERENCE COUNT:	1	THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:220858 CAPLUS
DOCUMENT NUMBER: 128:270614
ORIGINAL REFERENCE NO.: 128:53569a,53572a
TITLE: Preparation of acylpiperazines and related compounds as inhibitors of farnesyl-protein transferase.
INVENTOR(S): Graham, Samuel L.; Williams, Theresa M.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 50 pp., Cont.-in-part of U.S. Ser. No. 237,586, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5736539	A	19980407	US 1995-549829	19951116
WO 9500497	A1	19950105	WO 1994-US5634	19940519
W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KR, KZ, LK, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9404326	A	19951214	ZA 1994-4326	19940617

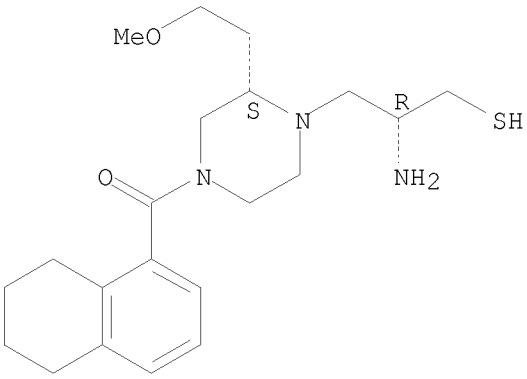
PRIORITY APPLN. INFO.:
US 1993-80028 B2 19930618
US 1994-237586 B2 19940511
WO 1994-US5634 W 19940519
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 128:270614
GI



I

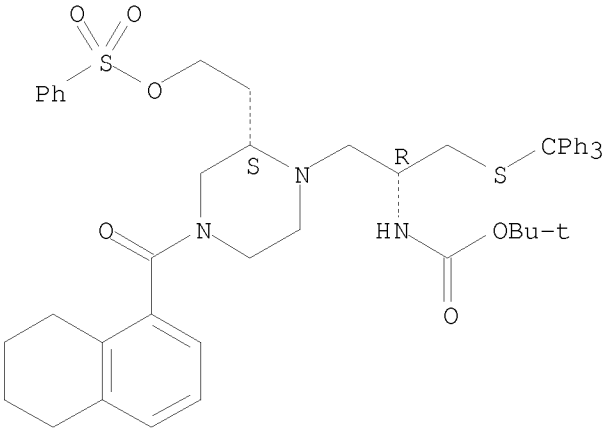
AB Title compds. e.g., [I; X = O, H2; m = 1, 2; n = 0, 1; t = 1, 4; R, R1 = H, alkyl, aralkyl; R2-R5 = H, (substituted) alkyl, alkenyl, alkynyl, aryl, heterocyclyl, acyl; Y = (substituted) aryl, heterocyclyl], were prepared Thus, 1-[2(R)-amino-3-mercaptopropyl]-2(S)-[2-(3-pyridylmethoxy)ethyl]-4-(1-naphthoyl)piperazine trihydrochloride (preparation given) inhibited RAS farnesylation with IC50 = 1 nM.
IT 169449-54-1 1099473-75-2
RL: PRPH (Prophetic)
(Preparation of acylpiperazines and related compounds as inhibitors of farnesyl-protein transferase.)
RN 169449-54-1 CAPLUS
CN 1-Piperazinepropanethiol, β-amino-2-(2-methoxyethyl)-4-[(5,6,7,8-tetrahydro-1-naphthalenyl)carbonyl]-, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 1099473-75-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

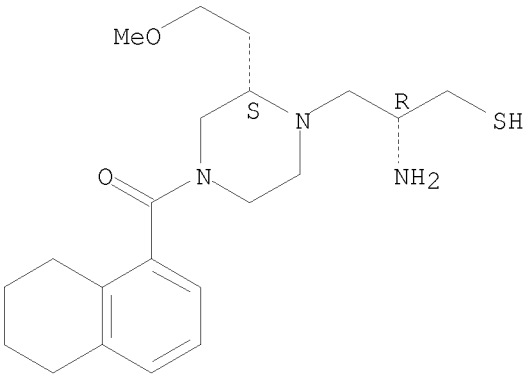


IT 169449-55-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acylpiperazines and related compds. as inhibitors of farnesyl-protein transferase)
RN 169449-55-2 CAPLUS
CN 1-Piperazinepropanethiol, β-amino-2-(2-methoxyethyl)-4-[(5,6,7,8-tetrahydro-1-naphthalenyl)carbonyl]-, [S-(R*,S*)]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

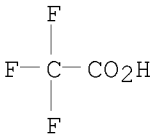
CRN 169449-54-1
CMF C21 H33 N3 O2 S

Absolute stereochemistry.



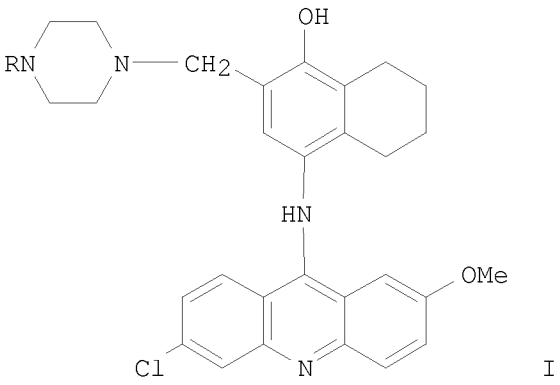
CM 2

CRN 76-05-1
CMF C2 H F3 O2



OS.CITING REF COUNT:	5	THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT:	24	THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:70133 CAPLUS
DOCUMENT NUMBER: 124:164423
ORIGINAL REFERENCE NO.: 124:30167a,30170a
TITLE: Synthesis and antimalarial activity of Mannich bases of N-tetrahydronaphthol-substituted 9-amino acridines
AUTHOR(S): Cao, Shouhai; Li, Fulin
CORPORATE SOURCE: Institute of Pharmacology and Toxicology, Academy of Military Medical Sciences, Beijing, 100071, Peop. Rep. China
SOURCE: Zhongguo Yiyao Gongye Zazhi (1995), 26(7), 292-4
CODEN: ZYGZEA; ISSN: 1001-8255
PUBLISHER: Zhongguo Yiyao Gongye Zazhi Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
GI

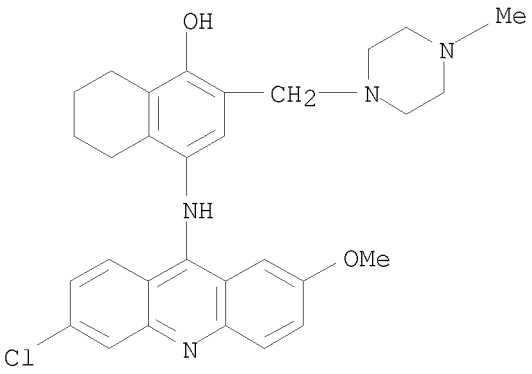


I

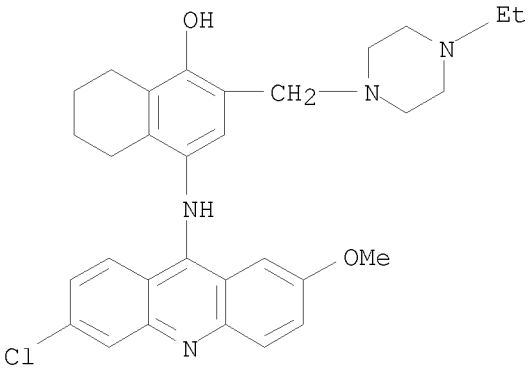
AB Nine Mannich bases of N-tetrahydronaphthol-substituted 9-amino acridines (I; R = Me, Et, Pr, iso-Pr, Bu, iso-Bu, sec-Bu, pentyl, isopentyl) were synthesized by using α-naphthol and 2-methoxy-6,9-dichloroacridine as starting materials. Preliminary screening showed that the suppressive activity of I (R = Bu, iso-Bu, sec-Bu) against P. berghei was equivalent to that of chloroquine and all the others were inferior to chloroquine.

IT 173739-07-6P 173739-08-7P 173739-09-8P
173739-10-1P 173739-11-2P 173739-12-3P
173739-13-4P 173739-14-5P 173739-15-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and antimalarial activity of Mannich bases of tetrahydronaphthol-substituted amino acridines)

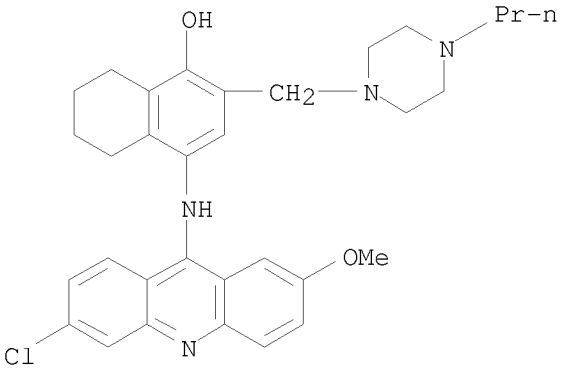
RN 173739-07-6 CAPLUS
CN 1-Naphthalenol, 4-[(6-chloro-2-methoxy-9-acridinyl)amino]-5,6,7,8-tetrahydro-2-[(4-methyl-1-piperazinyl)methyl]- (CA INDEX NAME)



RN 173739-08-7 CAPLUS
CN 1-Naphthalenol, 4-[(6-chloro-2-methoxy-9-acridinyl)amino]-2-[(4-ethyl-1-piperazinyl)methyl]-5,6,7,8-tetrahydro- (CA INDEX NAME)

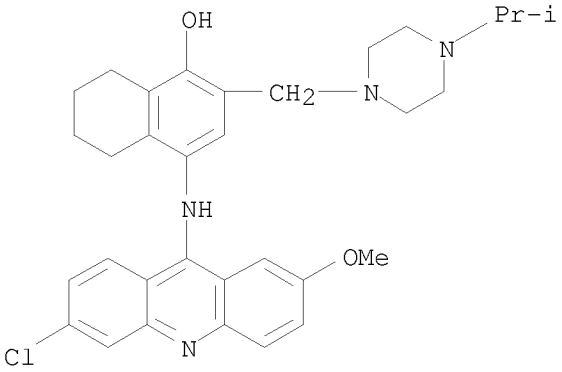


RN 173739-09-8 CAPLUS
CN 1-Naphthalenol, 4-[(6-chloro-2-methoxy-9-acridinyl)amino]-5,6,7,8-tetrahydro-2-[(4-propyl-1-piperazinyl)methyl]- (CA INDEX NAME)

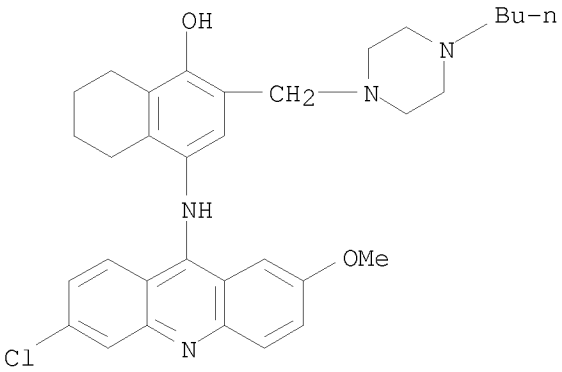


RN 173739-10-1 CAPLUS

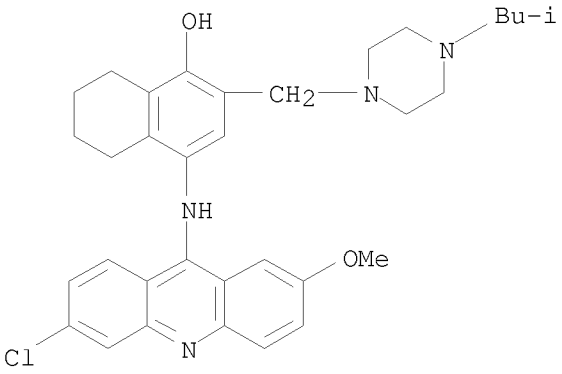
CN 1-Naphthalenol, 4-[(6-chloro-2-methoxy-9-acridinyl)amino]-5,6,7,8-tetrahydro-2-[[4-(1-methylethyl)-1-piperazinyl]methyl]- (CA INDEX NAME)



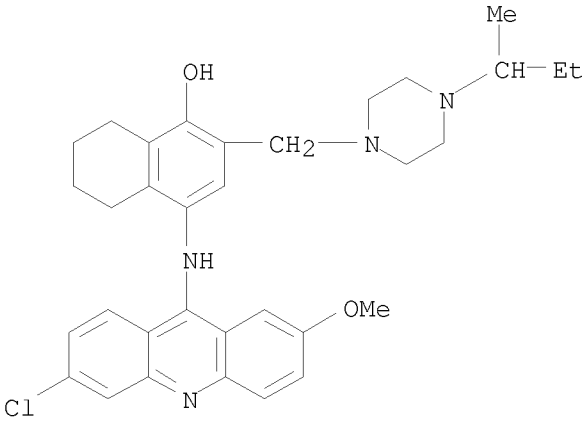
RN 173739-11-2 CAPLUS
CN 1-Naphthalenol, 2-[(4-butyl-1-piperazinyl)methyl]-4-[(6-chloro-2-methoxy-9-acridinyl)amino]-5,6,7,8-tetrahydro- (CA INDEX NAME)



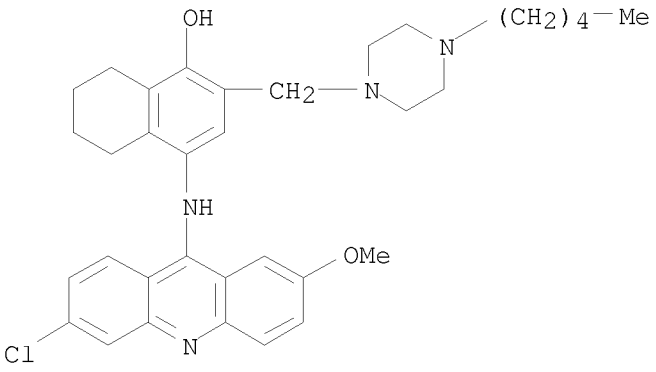
RN 173739-12-3 CAPLUS
CN 1-Naphthalenol, 4-[(6-chloro-2-methoxy-9-acridinyl)amino]-5,6,7,8-tetrahydro-2-[[4-(2-methylpropyl)-1-piperazinyl]methyl]- (CA INDEX NAME)



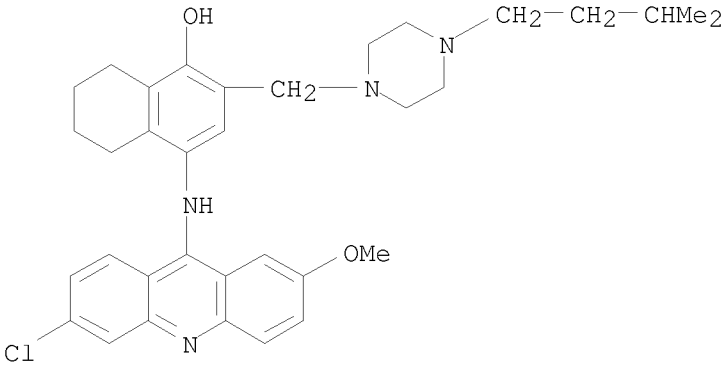
RN 173739-13-4 CAPLUS
CN 1-Naphthalenol, 4-[(6-chloro-2-methoxy-9-acridinyl)amino]-5,6,7,8-tetrahydro-2-[[4-(1-methylpropyl)-1-piperazinyl]methyl]- (CA INDEX NAME)



RN 173739-14-5 CAPLUS
CN 1-Naphthalenol, 4-[(6-chloro-2-methoxy-9-acridinyl)amino]-5,6,7,8-tetrahydro-2-[(4-pentyl-1-piperazinyl)methyl]- (CA INDEX NAME)



RN 173739-15-6 CAPLUS
CN 1-Naphthalenol, 4-[(6-chloro-2-methoxy-9-acridinyl)amino]-5,6,7,8-tetrahydro-2-[[4-(3-methylbutyl)-1-piperazinyl]methyl]- (CA INDEX NAME)

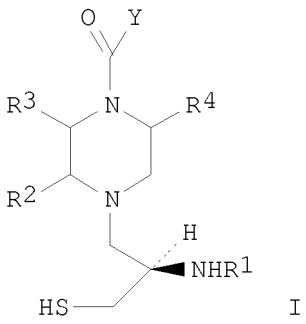


OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L4 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:881293 CAPLUS
DOCUMENT NUMBER: 123:286080
ORIGINAL REFERENCE NO.: 123:51271a,51274a
TITLE: Preparation of α-(mercaptoalkyl)-1-piperazineethanamines as inhibitors of farnesyl-protein transferase
INVENTOR(S): Graham, Samuel L.; Williams, Theresa M.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 156 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9500497	A1	19950105	WO 1994-US5634	19940519
W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KR, KZ, LK, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2165176	A1	19950105	CA 1994-2165176	19940519
AU 9470412	A	19950117	AU 1994-70412	19940519
AU 675145	B2	19970123		
EP 703905	A1	19960403	EP 1994-919174	19940519
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 09500109	T	19970107	JP 1994-502810	19940519
ZA 9404326	A	19951214	ZA 1994-4326	19940617
US 5736539	A	19980407	US 1995-549829	19951116

PRIORITY APPLN. INFO.: US 1993-80028 A 19930618
US 1994-237586 A 19940511
WO 1994-US5634 W 19940519
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 123:286080
GI



I

AB Compds. which inhibit farnesyl-protein transferase (FTase) and the

farnesylation of the oncogene protein Ras were disclosed. More narrowly defined claimed compds. are α-(mercaptomethyl)-1-piperazineethanamines I (Y = Ph, aryl, furanyl, etc.; R1-R4 = H, alkyl, substituent, etc.). The invention is further directed to chemotherapeutic compns. containing the compds. of this invention and methods for inhibiting farnesyl-protein transferase and the farnesylation of the oncogene protein Ras.

IT 169449-55-2P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of α-(mercaptoalkyl)-1-piperazineethanamines farnesyl-protein transferase inhibitors)

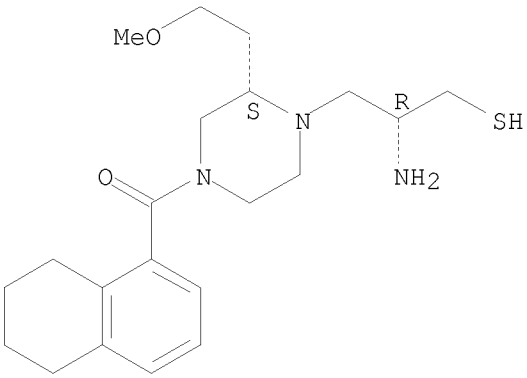
RN 169449-55-2 CAPLUS

CN 1-Piperazinepropanethiol, β-amino-2-(2-methoxyethyl)-4-[(5,6,7,8-tetrahydro-1-naphthalenyl)carbonyl]-, [S-(R*,S*)]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

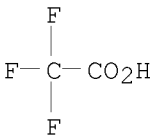
CRN 169449-54-1
CMF C21 H33 N3 O2 S

Absolute stereochemistry.



CM 2

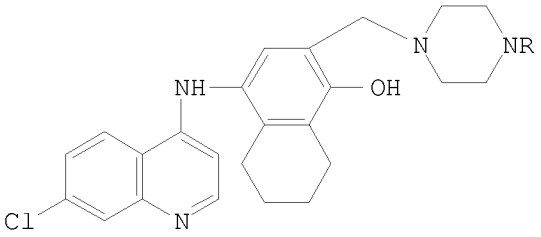
CRN 76-05-1
CMF C2 H F3 O2



OS.CITING REF COUNT: 22 THERE ARE 22 CAPLUS RECORDS THAT CITE THIS RECORD (22 CITINGS)

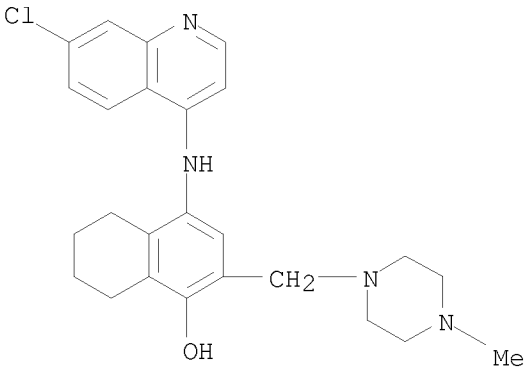
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1994:508695 CAPLUS
DOCUMENT NUMBER: 121:108695
ORIGINAL REFERENCE NO.: 121:19627a,19630a
TITLE: Syntheses of Mannich basic compounds of tetrahydronaphthol containing piperazine side chains
AUTHOR(S): Gao, Shouhai; Li, Fulin
CORPORATE SOURCE: Inst. Pharmacol. Toxicol., Acad. Mil. Med. Sci., Beijing, 100850, Peop. Rep. China
SOURCE: Zhongguo Yaowu Huaxue Zazhi (1993), 3(3), 175-8
CODEN: ZYHZEF; ISSN: 1005-0108
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
GI

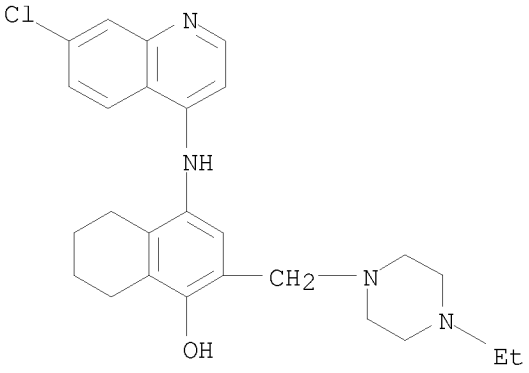


I

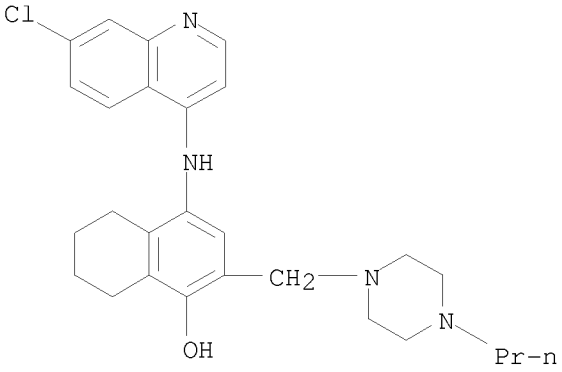
AB Title compds. I (R = Me, Et, Pr, Me2CH, Bu, iso-Bu, EtCHMe, pentyl, isopentyl) were prepared starting from 1-naphthol. I (R = Bu, EtCHMe, isopentyl) showed antimalarial activity comparable to that of chloroquine.
IT 156893-82-2P 156893-83-3P 156893-84-4P
156893-85-5P 156893-86-6P 156893-87-7P
156893-88-8P 156893-89-9P 156893-90-2P
156893-91-3P 156893-92-4P 156893-93-5P
156893-94-6P 156893-95-7P 156893-96-8P
156893-97-9P 156893-98-0P 156893-99-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antimalarial activity of)
RN 156893-82-2 CAPLUS
CN 1-Naphthalenol, 4-[(7-chloro-4-quinolinyl)amino]-5,6,7,8-tetrahydro-2-[(4-methyl-1-piperazinyl)methyl]- (CA INDEX NAME)



RN 156893-83-3 CAPLUS
CN 1-Naphthalenol, 4-[(7-chloro-4-quinolinyl)amino]-2-[(4-ethyl-1-piperazinyl)methyl]-5,6,7,8-tetrahydro- (CA INDEX NAME)

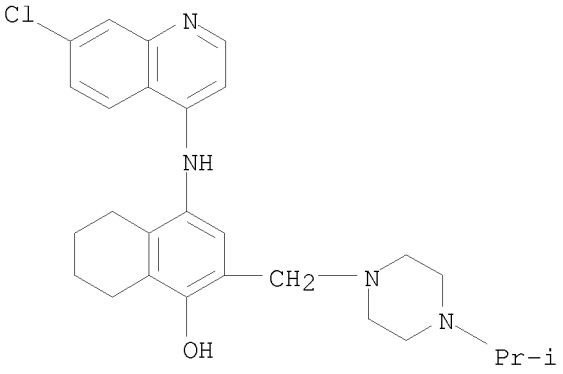


RN 156893-84-4 CAPLUS
CN 1-Naphthalenol, 4-[(7-chloro-4-quinolinyl)amino]-5,6,7,8-tetrahydro-2-[(4-propyl-1-piperazinyl)methyl]- (CA INDEX NAME)

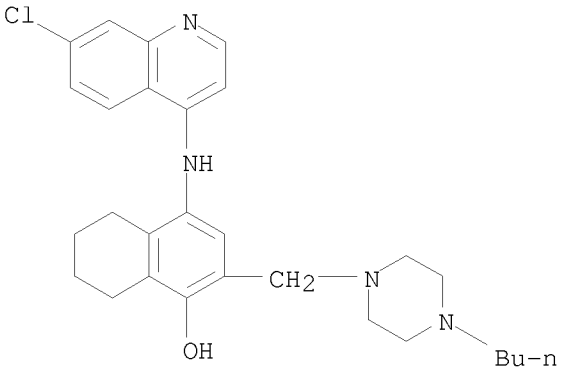


RN 156893-85-5 CAPLUS

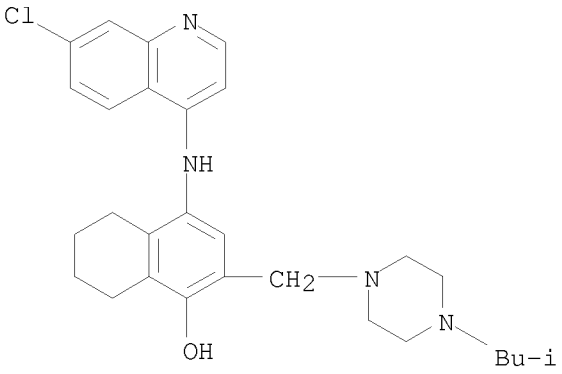
CN 1-Naphthalenol, 4-[(7-chloro-4-quinolinyl)amino]-5,6,7,8-tetrahydro-2-[[4-(1-methylethyl)-1-piperazinyl]methyl]- (CA INDEX NAME)



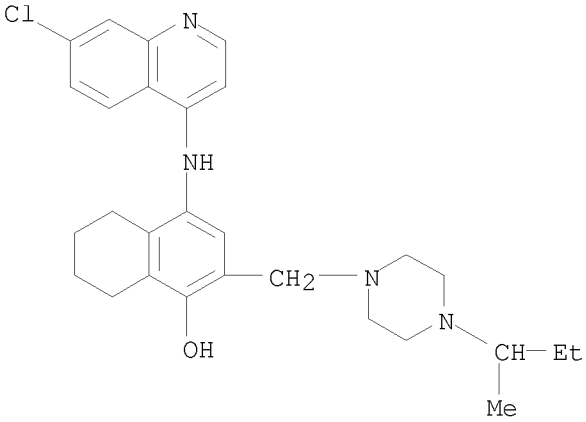
RN 156893-86-6 CAPLUS
CN 1-Naphthalenol, 2-[(4-butyl-1-piperazinyl)methyl]-4-[(7-chloro-4-quinolinyl)amino]-5,6,7,8-tetrahydro- (CA INDEX NAME)



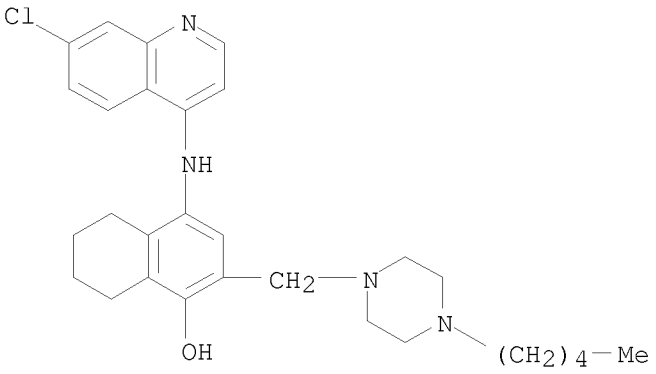
RN 156893-87-7 CAPLUS
CN 1-Naphthalenol, 4-[(7-chloro-4-quinolinyl)amino]-5,6,7,8-tetrahydro-2-[[4-(2-methylpropyl)-1-piperazinyl]methyl]- (CA INDEX NAME)



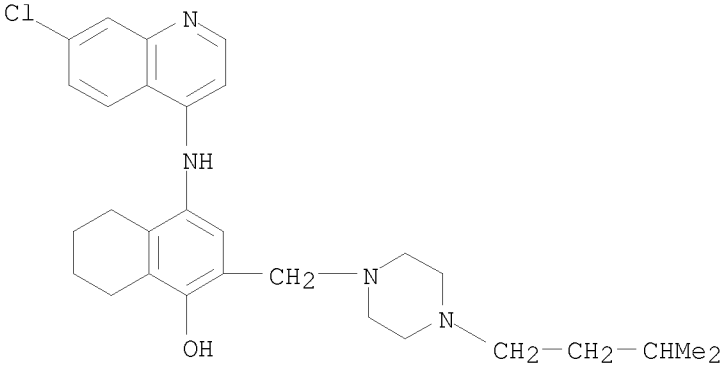
RN 156893-88-8 CAPLUS
CN 1-Naphthalenol, 4-[(7-chloro-4-quinolinyl)amino]-5,6,7,8-tetrahydro-2-[[4-(1-methylpropyl)-1-piperazinyl]methyl]- (CA INDEX NAME)



RN 156893-89-9 CAPLUS
CN 1-Naphthalenol, 4-[(7-chloro-4-quinolinyl)amino]-5,6,7,8-tetrahydro-2-[(4-pentyl-1-piperazinyl)methyl]- (CA INDEX NAME)



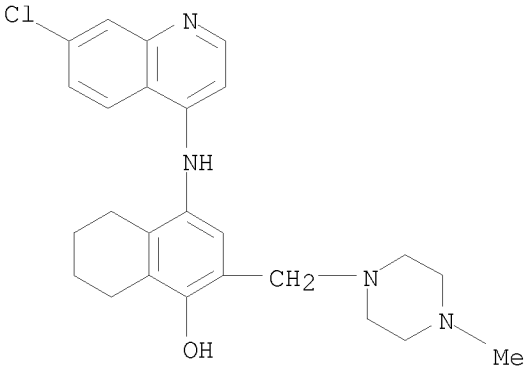
RN 156893-90-2 CAPLUS
CN 1-Naphthalenol, 4-[(7-chloro-4-quinolinyl)amino]-5,6,7,8-tetrahydro-2-[[4-(3-methylbutyl)-1-piperazinyl]methyl]- (CA INDEX NAME)



RN 156893-91-3 CAPLUS
CN 1-Naphthalenol, 4-[(7-chloro-4-quinolinyl)amino]-5,6,7,8-tetrahydro-2-[(4-methyl-1-piperazinyl)methyl]-, phosphate (1:3) (salt) (9CI) (CA INDEX NAME)

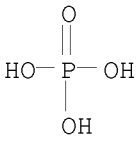
CM 1

CRN 156893-82-2
CMF C25 H29 Cl N4 O



CM 2

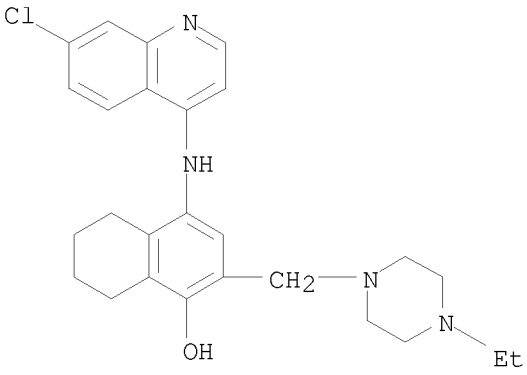
CRN 7664-38-2
CMF H3 O4 P



RN 156893-92-4 CAPLUS
CN 1-Naphthalenol, 4-[(7-chloro-4-quinolinyl)amino]-2-[(4-ethyl-1-piperazinyl)methyl]-5,6,7,8-tetrahydro-, phosphate (1:3) (salt) (9CI) (CA INDEX NAME)

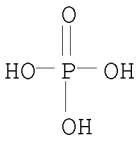
CM 1

CRN 156893-83-3
CMF C26 H31 Cl N4 O



CM 2

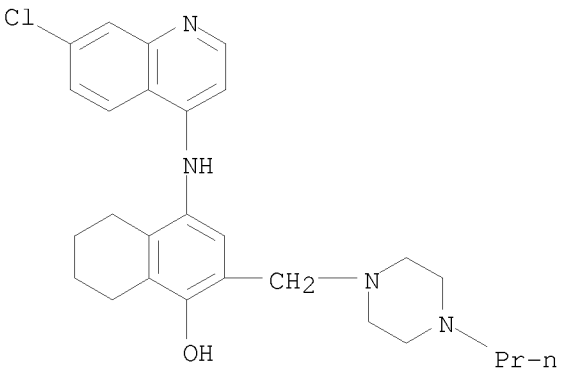
CRN 7664-38-2
CMF H3 O4 P



RN 156893-93-5 CAPLUS
CN 1-Naphthalenol, 4-[(7-chloro-4-quinolinyl)amino]-5,6,7,8-tetrahydro-2-[(4-propyl-1-piperazinyl)methyl]-, phosphate (1:3) (salt) (9CI) (CA INDEX NAME)

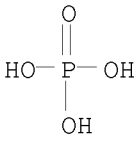
CM 1

CRN 156893-84-4
CMF C27 H33 Cl N4 O



CM 2

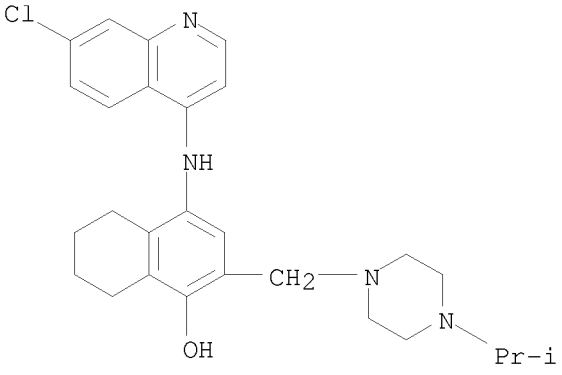
CRN 7664-38-2
CMF H3 O4 P



RN 156893-94-6 CAPLUS
CN 1-Naphthalenol, 4-[(7-chloro-4-quinolinyl)amino]-5,6,7,8-tetrahydro-2-[[4-(1-methylethyl)-1-piperazinyl]methyl]-, phosphate (1:3) (salt) (9CI) (CA INDEX NAME)

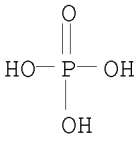
CM 1

CRN 156893-85-5
CMF C27 H33 Cl N4 O



CM 2

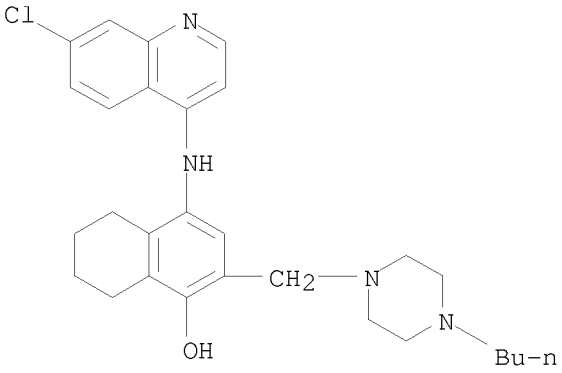
CRN 7664-38-2
CMF H3 O4 P



RN 156893-95-7 CAPLUS
CN 1-Naphthalenol, 2-[(4-butyl-1-piperazinyl)methyl]-4-[(7-chloro-4-quinolinyl)amino]-5,6,7,8-tetrahydro-, phosphate (1:3) (salt) (9CI) (CA INDEX NAME)

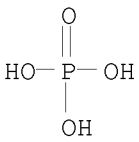
CM 1

CRN 156893-86-6
CMF C28 H35 Cl N4 O



CM 2

CRN 7664-38-2
CMF H3 O4 P

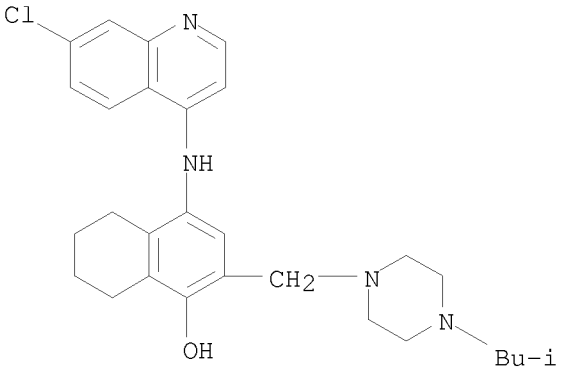


RN 156893-96-8 CAPLUS
CN 1-Naphthalenol, 4-[(7-chloro-4-quinolinyl)amino]-5,6,7,8-tetrahydro-2-[[4-(2-methylpropyl)-1-piperazinyl]methyl]-, phosphate (1:3) (salt) (9CI) (CA

INDEX NAME)

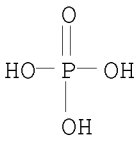
CM 1

CRN 156893-87-7
CMF C28 H35 Cl N4 O



CM 2

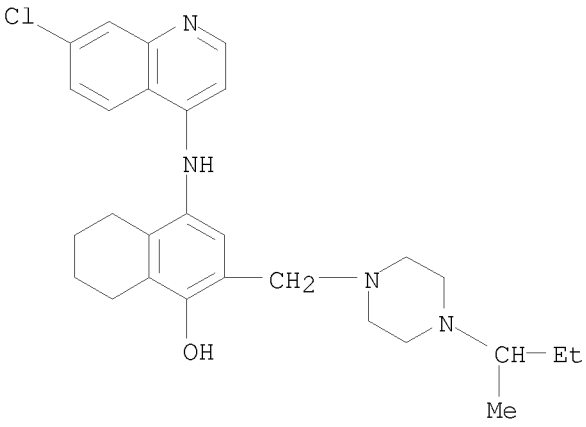
CRN 7664-38-2
CMF H3 O4 P



RN 156893-97-9 CAPLUS
CN 1-Naphthalenol, 4-[(7-chloro-4-quinolinyl)amino]-5,6,7,8-tetrahydro-2-[[4-(1-methylpropyl)-1-piperazinyl]methyl]-, phosphate (1:3) (salt) (9CI) (CA INDEX NAME)

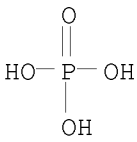
CM 1

CRN 156893-88-8
CMF C28 H35 Cl N4 O



CM 2

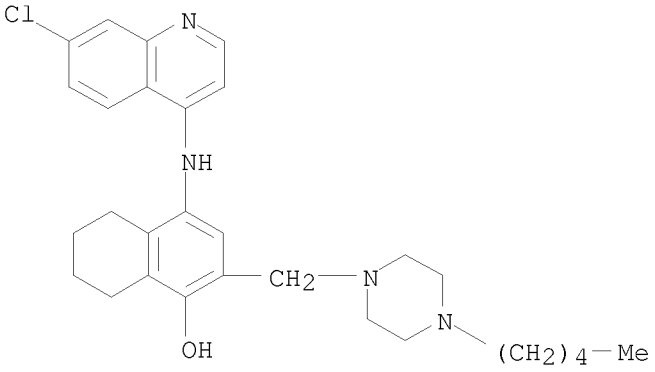
CRN 7664-38-2
CMF H3 O4 P



RN 156893-98-0 CAPLUS
CN 1-Naphthalenol, 4-[(7-chloro-4-quinolinyl)amino]-5,6,7,8-tetrahydro-2-[(4-pentyl-1-piperazinyl)methyl]-, phosphate (1:3) (salt) (9CI) (CA INDEX NAME)

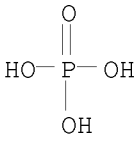
CM 1

CRN 156893-89-9
CMF C29 H37 Cl N4 O



CM 2

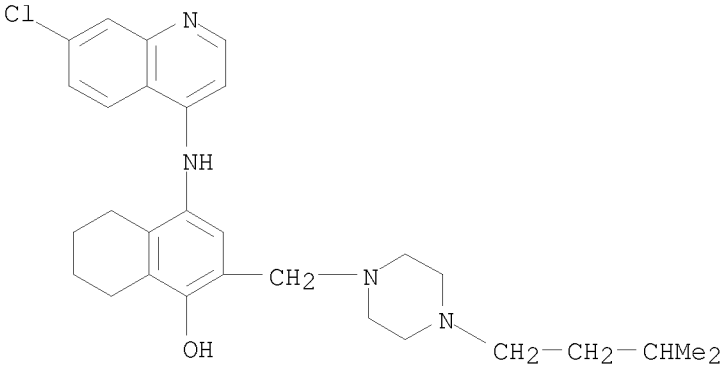
CRN 7664-38-2
CMF H3 O4 P



RN 156893-99-1 CAPLUS
CN 1-Naphthalenol, 4-[(7-chloro-4-quinolinyl)amino]-5,6,7,8-tetrahydro-2-[[4-(3-methylbutyl)-1-piperazinyl]methyl]-, phosphate (1:3) (salt) (9CI) (CA INDEX NAME)

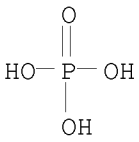
CM 1

CRN 156893-90-2
CMF C29 H37 Cl N4 O



CM 2

CRN 7664-38-2
CMF H3 O4 P



L4 ANSWER 16 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1958:40588 CAPLUS
DOCUMENT NUMBER: 52:40588
ORIGINAL REFERENCE NO.: 52:7310h-i,7311a-i,7312a-e
TITLE: Oxytocic activity of basic (aminomethyl) derivatives of phenols and related compounds
AUTHOR(S): Cohen, A.; Hall, R. A.; Heath-Brown, B.; Parkes, M. W.; Rees, A. H.
CORPORATE SOURCE: Roche Prods. Ltd., Welwyn Garden City, UK
SOURCE: British Journal of Pharmacology and Chemotherapy (1957), 12, 194-208
CODEN: BJPCAL; ISSN: 0366-0826
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB The appropriate phenol, base, and formalin by the Mannich reaction gave the following 2-naphthols [substituent, b.p./mm. or m.p. of base, other consts. given for base, m.p. of salts (HCl = hydrochloride, T = acid tartrate, M = acid maleate)]: 1-(4-ethylpiperidinomethyl), 113°; 1-(2-methylpiperidinomethyl), 94-6°; 1-(4-methylpiperidinomethyl), 131.5-3.5°; 3-piperidino-methyl-5,6,7,8-tetrahydro (I), 77-8°, HCl, 197-8°; 1-(2,4-dimethylpiperidinomethyl), 71-3.5°; 1-(3-ethoxycarbonylpiperidinomethyl), -, HCl, 100°; 1-(3-hydroxymethylpiperidinomethyl), -, M, 157-8°; 1-(4-ethoxycarbonylpiperidinomethyl), -, HCl, 99-101°; 3-(2-methylpiperidinomethyl)-5,6,7,8-tetrahydro, 120°/3 + 10-5, n20D 1.552, T, 60-70°; 3-(3-ethoxycarbonylpiperidinomethyl)-5,6,7,8-tetrahydro, 180°/0.3, HCl, 100°, T, 75-80°; 1-(3-methylpiperidinomethyl), -, M, 157-8°; 1-(2-methyl-5-ethyl-piperidinomethyl), -, M, 70°; 1-piperidinomethyl-3-ethoxycarbonyl, 106-8°, M, 121-3°; 1-(α-piperidinoethyl), -, T, 125°. The following 4,5-dimethylphenols: 2-(2-methylpiperidinomethyl) (II), -, HCl, 190-2°, M, 134-6°; 2-(3-ethoxycarbonylpiperidinomethyl), 116°/10-4, n20D 1.525; 2-(2,4-dimethylpiperidinomethyl), 147°/0.5, n20D 1.527, HCl, 180-2°; 2-(4-ethylpiperidinomethyl), 28-30°, HCl, 162-4°; 2-(4-methylpiperidinomethyl), 44-6° HCl, 180-2°; 2-(4-ethoxycarbonylpiperidinomethyl), 152°/5 + 10-5, n20D 1.522, HCl, 164-6°; 2-(4-hydroxymethytpiperidinomethyl), 75-6°, HCl, 180-2°; 2-(3-methylpiperidinomethyl), 52-4°, -; 2-(2-methyl-5-ethylpiperidinomethyl), 80-1°,-; d-2-(2-methylpiperidinomethyl), 121°/0.3, n20D 1.534, [α]20D 47.1° (c 0.98, benzene), -; l-isomer, 112°/0.14, n20D 1.534, [α]20D -51.4° (c 1.33, benzene), -; 2-hexamethyleniminomethyl, 52°, HCl, 174°; 2-(N-ethyl-N-isopropylaminomethyl), 90°/0.15, n20D 1.516, HCl, 201°; 2-isopropylaminomethyl, 75°, HCl, 137°; 2-diethylaminomethyl, HCl, 190-2°; 2-morpholinomethyl, 129°/0.4, HCl, 198°; 2-(2-ethylpiperidinomethyl), .apprx. 39°, HCl, 174-6°; 2-(5-ethoxycarbonyl-2-methylpiperidinomethyl), -, HCl, 189°; 2-(3-methylmorpholinomethyl), 59-61°,HCl, 165-6°, M, 162-4°; 2-diallylaminomethyl, 120°/0.1, HCl, 136-7°; 2-dimethylaminomethyl, 80-1°, -; 2-pyrrolidinomethyl, 130°/0.1, n20D 1.538, HCl, 149°. The following phenols: 2-piperidinomethyl-3,5-dimethyl, -, M, 121-2°; 6-piperidinomethyl-2,3-dimethyl, 128-30°/0.5, n20D 1.537, HCl,

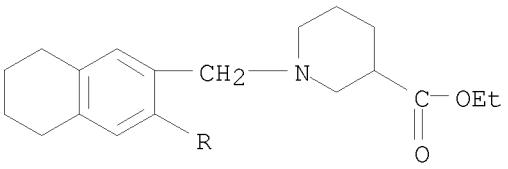
220-1.5°; 4-piperidinomethyl-2,5-dimethyl, -, HCl, 226-7°;
4-piperidinomethyl-2,6-dimethyl, -, M, 135-6°;
2-piperidinomethyl-4,6-dimethyl, -, M, 90°;
2-piperidinomethyl-3,4,6-trimethyl, -, HCl, 228-30°;
2-piperidinomethyl-4-methyl, -, HCl, 198°;
2-piperidinomethyl-5-methyl, -, HCl, 166-8°;
2-piperidinomethyl-4-chloro,-, HCl, 231°,
2-piperidinomethyl-4-chloro-5-methyl, -, HCl, 207°;
2-piperidinomethyl-4-ethyl-5-methyl, 120°/0.1, n20D 1.534, HCl,
160-2°; 2-piperidinomethyl-3,4,5-trimethyl, 102-3°, HCl,
211°; 2-(2-methylpiperidinomethyl)-4-ethyl-5-methyl,
143°/0.5, n20D 1.532, HCl, 143-5°;
2-piperidinomethyl-4,5-dimethoxy, 119°/5 + 10-5, HCl,
170-2°; 2-piperidinomethyl-4,5-diethyl, 136-8°/0.1, HCl,
178°; 2-piperidinomethyl-5-methyl-propyl, 132°/0.1, n20D
1.531, -; 2-piperidinomethyl-5-ethyl-4-methyl, 117°/0.1, HCl,
154°; 2-piperidinomethyl-4-propyl, 141°/0.75, n20D 1.528,
HCl, 178-80°; 2-(2-methylpiperidinomethyl)-5-ethyl-4-methyl,
126°/0.3, n20D 1.531, -; 2-piperidinomethyl-4-cyclohexyl,
59-60°, -; l-2-(2-methylpiperidinomethyl)-4-ethyl-5-methyl,
126-8°/0.19, n20D 1.530, [α]20D -45.7° (c1.25,
benzene), -; d-isomer, 126-8°/0.19, n20D 1.530, [α]20D
44.4° (c 1.31, benzene), -;
2-piperidinomethyl-4-isopropyl-5-methyl, 122°/0.25, n20D 1.531, -.
The following 5-hydroxyindans: 6-piperidinomethyl, 125-6°/0.22,
n20D 1.549, HCl, 206-8°, M, 118°;
6-(2-methylpiperidinomethyl), 35-7°, HCl, 173-5°, M,
152-4°; 6-morpholinomethyl, 41-4°, M, 133°;
6-(3-methylmorpholinomethyl), 58-60°, HCl, 193-5°, M,
153°; l-6-(2-methylpiperidinomethyl), 133-4°/0.1, n20D
1.549, [α]20D -47.2° (c 0.68, benzene), M, 147-9°
[[α]20D -9.9° (c 1.7, water)]; d-isomer,136-8°/0.12,
n20D 1.549, [α]20D 44.9° (c 1.20, benzene), M, 144-7°
[[α]20D 7.0° (c 1.63, H2O)]. The following compds.:
3-hydroxy-4-(piperidinomethyl)quinoline, m. 95°;
6-hydroxy-5-(piperidinomethyl)quinoline-HCl, m. 214°; and
3-(β-piperidinoethyl)indole-HCl, m. 222.5-4.5°.
1-Bromo-5,6,7,8-tetrahydro-2-naphthol in a Mannich reaction gave
1-bromo-3-piperidinomethyl-5,6,7,8-tetrahydro-2-naphthol from which Br was
eliminated by hydrogenation in HOAc with PdBaSO4 in the presence of KOAc
to give I. Also 2-hydroxy-5,6,7,8-tetrahydro-3-naphthoic ester, converted
to the piperidide, m. 202-4°, on reduction with LiAlH4 gave I.
2-Hydroxy-3-naphthopiperidide, prisms, m. 229-30° (MeOH), prepared
from 3-ethoxycarbonyl-2-naphthol, on reduction with LiAlH4 gave
3-piperidinomethyl-2-naphthol, m. 159-60°; HCl salt, m.
217.5-19.5°. 2-Bromo-4,5-dimethyl-phenol by a Mannich reaction
gave 2-bromo-4,5-dimethyl-6-piperidinomethylphenol, m. 93-5°,
debrominated as above to 2-piperidinomethyl-3,4-dimethylphenol, b0.18
120-2°; HCl salt, m. 168-70°. Salicylaldehyde and
piperidine hydrogenated with Pd-C catalyst gave 2-piperidinomethylphenol,
b0.25 100°, n20D 1.537; HCl salt, m. 160-2°. The
Kindler-Willgerodt reaction with 2-benzyloxy-4,5-dimethylacetophenone gave
a substituted phenylacetothiomorpholide, m. 129°, which
desulfurized with Raney Ni gave 1-β-[(2-benzyl-oxy-4,5-
dimethylphenyl)ethyl]morpholine; picrate, m. 178-8.5°.
Hydrogenation of the crude base HCl salt with Pd-C gave
2-(β-morpholinoethyl)-4,5-dimethylphenol-HCl, m. 238-9°.

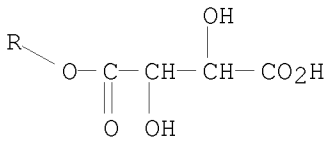
Similarly, from the phenylacetothiopiperidide was obtained 1-β-[(2-benzyloxy-4,5-dimethylphenyl)ethyl]piperidine-HCl, m. 180-1°, hydrogenated to 2-(β-piperidinoethyl)-4,5-dimethylphenol-HCl, m. 193-5°. 2-Amino-4,5-dimethylphenol, 1,5-dibromopentane, and K2CO3 in boiling BuOH gave 2-piperidino-4,5-dimethylphenol, b0.1 95-7°, n20D 1.539. II was converted to the acetoxy derivative, b0.05 118°, n20D 1.527 and to the benzoyloxy derivative, m. 77-8°, by treating 20 hrs. at 20° with the corresponding chloride in dry pyridine. A mixture of 5-methoxyindan-6-aldehyde and α-pipecoline hydrogenated over Pd-C gave 5-methoxy-6-(2-methylpiperidinomethyl)indan, b0.05 129-31°, n20D 1.543. These compds. were tested for oxytocic activity both in vivo and in vitro and some were found to exceed ergometrine in activity. Highest activity occurred with 2-piperidinomethyl derivs. of phenols, among which maximum potency was conferred by substitution at both the 4 and 5 positions by Me or Et or by linkage of these positions to form an indan derivative In all series, piperidinomethyl derivs. were more active than those formed with other bases and methylation in the position α to the N atom augmented the activity of both piperidine and morpholine derivs. Among 2-methylpiperidinomethyl phenols, the l- was more active than the d-form. Acylation or alkylation of the phenolic HO group did not affect activity. The oxytocic activity was specific, the compds. being less effective upon other forms of smooth muscle. Effects upon blood pressure and respiration of a central nature were observed.

IT 1071701-96-6P
RL: SPN (Synthetic preparation); PRP (Properties); PREP (Preparation)
(Oxytocic activity of basic (aminomethyl) derivatives of phenols and related compounds)

RN 1071701-96-6 CAPLUS

CN Butanedioic acid, 2,3-dihydroxy-, 1-[3-[[3-(ethoxycarbonyl)-1-piperidinyl]methyl]-5,6,7,8-tetrahydro-2-naphthalenyl] ester (CA INDEX NAME)

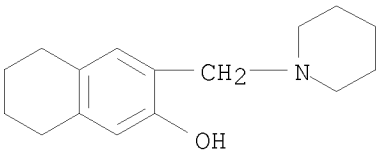




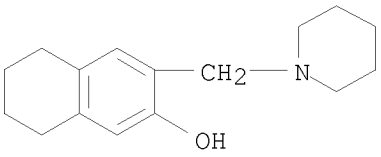
IT 860440-00-2P, 2-Naphthol, 5,6,7,8-tetrahydro-3-piperidinomethyl-
860440-02-4P, 2-Naphthol, 5,6,7,8-tetrahydro-3-piperidinomethyl-, hydrochloride
RL: PREP (Preparation)
(preparation of)

RN 860440-00-2 CAPLUS

CN 2-Naphthalenol, 5,6,7,8-tetrahydro-3-(1-piperidinylmethyl)- (CA INDEX NAME)



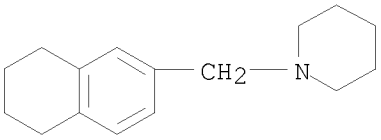
RN 860440-02-4 CAPLUS
CN 2-Naphthalenol, 5,6,7,8-tetrahydro-3-(1-piperidinylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L4 ANSWER 17 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1957:101470 CAPLUS
DOCUMENT NUMBER: 51:101470
ORIGINAL REFERENCE NO.: 51:18343i,18344a-c
TITLE: Pharmacological research on synthetic uterotonics. II. Substituted N-benzylpiperidines and 3,4-dimethoxybenzylamines
AUTHOR(S): Votava, Z.; Podvalova, I.
CORPORATE SOURCE: Research Inst. Pharmacy and Biochemistry, Prague
SOURCE: Chekhoslovatskaya Fiziologiya (1954), 3, 426-31
CODEN: CHFIAK; ISSN: 0031-9309
DOCUMENT TYPE: Journal
LANGUAGE: English
AB cf. C.A. 50, 8900c. Tests were carried out for pharmacol. properties of the following N-benzylpiperidine derivs.: 3,4-tetramethylene; 2-methoxy; 3-methoxy; 4-methoxy; 2,3-dimethoxy; 2-hydroxy-3-methoxy; 2,4-dimethoxy; 2,5-dimethoxy; 2-hydroxy-5-methoxy; 2,6-dimethoxy; 3,4-dimethoxy; 1-methyl-3,4-dimethoxy; 3,4-methylenedioxy; 3,4-ethylenedioxy; 3-methoxy-4-hydroxy; 3,5-dimethoxy; 2,3,4-trimethoxy; 2,4,5-trimethoxy; 3,4,5-trimethoxy; and 4-hydroxy-3,5-dimethoxy; the following N,N-disubstituted derivs. of 3,4-dimethoxybenzylamine: di-Me; di-Et; di-Pr; di-Bu; and diallyl and the N-(3,4-dimethoxybenzyl) derivs. of: pyrrolidine; piperidine; 2-methylpiperidine; 2,6-dimethylpiperidine; hexamethylenimine; 1-[1-(3,4-dimethoxyphenyl)ethyl]piperidine; and 1-(3-indolylmethyl)-2-methylpiperidine. In all substances, the uterotonic action was studied on in situ expts. in rabbits, the effect on the blood pressure in rabbits, and the toxicity in mice. The substances were always administered intravenously. A regularity was determined between the chemical structure and the uterotonic effect of the substance.
IT 860227-77-6, Piperidine, 1-[(5,6,7,8-tetrahydro-2-naphthyl)methyl]-
(pharmacology of)
RN 860227-77-6 CAPLUS
CN Piperidine, 1-[(5,6,7,8-tetrahydro-2-naphthalenyl)methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

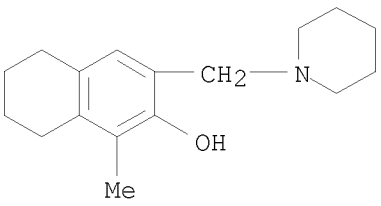
L4 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1956:48646 CAPLUS
DOCUMENT NUMBER: 50:48646
ORIGINAL REFERENCE NO.: 50:9354g-i,9355a-g
TITLE: ar-2-Tetralol derivatives
AUTHOR(S): Hull, Robert L.
SOURCE: Journal of the American Chemical Society (1955), 77, 6376-9
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 50:48646
GI For diagram(s), see printed CA Issue.
AB 1-(Piperidinomethyl)-2-naphthol (72.4 g.) in 200 cc. glacial AcOH hydrogenated 20 h. at 60° and 50 lb. over 3.0 g. 5% Pd-C, the mixture filtered into 1 l. ice water, the precipitate filtered off, shaken with 300 cc. Et2O and 300 cc. H2O, the Et2O extract dried, evaporated, and the solid residue recrystd. from ligroine (b. 60-70°) gave 29.4 g. 5,-6,7,8-tetrahydro-1-methyl-2-naphthol (I), colorless needles, m. 113-14°. I (16.2 g.) and 8.5 g. piperidine in 50 cc. EtOH treated with 8.2 g. 36-8% aqueous CH2O, the mixture allowed to stand overnight, cooled in ice, filtered, and the filter cake washed with cold EtOH yielded 18.1 g. 3-(piperidinomethyl)-derivative of I, m. 57-9°; the mother liquor concentrated gave an addnl. 6.8 g. material, m. 57-9°; anal. sample, m. 60.5-1.5° (from EtOH). I (32.4 g.) in 200 cc. CCl4 treated dropwise during 15 min. with 27.0 g. SO2Cl2, the mixture washed with 300 cc. H2O, 300 cc. 5% aqueous NaHCO3, and 300 cc. H2O, dried, evaporated on the steam bath, the residual oil distilled and the fraction b0.5 90-115°, which solidified, recrystd. from 75 cc. 70% EtOH gave 21.0 g. 3-Cl derivative of I, colorless needles, m. 57-8° (from EtOH). Br (32 g.) in 50 cc. CC4 added dropwise with stirring to 32.4 g. I in 150 cc. CCl4, the solution stirred 0.5 h., washed with 300 cc. H2O, 300 cc. 5% aqueous NaHCO3, and 500 cc. H2O, dried, evaporated, and the solid residue recrystd. from 70% EtOH gave 36.5 g. 3-Br derivative of I, colorless needles, m. 69-70°. 5,6,7,8-Tetra-hydro-3-Pr 2-naphthol (II) treated with Br in CCl4 yielded 53% 1-Br derivative of II, m. 64.5-5.5° (from 70% EtOH). The appropriate ar-2-tetralol (0.05 mol) in 25 cc. absolute EtOH added to 1.15 g. Na in 20 cc. absolute EtOH, the mixture treated with HOCH2CH(OH)CH2Cl or HOCH2CMe(OH)CH2Cl, refluxed 3 h., filtered, the filtrate evaporated, and the residue recrystd. or distilled gave the corresponding III (R, X, Y, % yield, and m.p. given): H, Me, H, 42, 109-10°; Me, Me, H, 34, 91.5-2.5°; Me, H, H, 70, 80-1°; H, Br, H, 31, 120-1°; H, Br, Br, 42, 104.5-5.5°; H, Me, Br, 29, 85.5-6.5°; H, Me, Cl, 24, 81-2°; Me, Me, Br, 51, 102.5-3.5°; H, H, CH2CH:CH2, 21, 66-7°; H, H, Pr, 47, 88-9°; Me, H, CH2CH:CH2, 44, - (b0.5 175-80°); Me, Br, Br, 23, 81-2°, H, Br, Pr, 51, 86-7°; Me, Br, Pr, 23, 80-1°. 1,3-Dibromo-5,6,7,8-tetrahydro-2-naphthyl acetate (10.4 g.) added to 2.8 g. NaOH in 40 cc. 70% EtOH, the mixture refluxed 1 h., treated with 0.040 mol of the appropriate glycerol monohydrin, refluxed 3 h., evaporated in vacuo at 50°, the gummy residue extracted with 100 cc. hot C6H6, the extract evaporated, and the residue recrystd. gave the III (X and Y = Br). I (34.5 g.) and 20 cc. concentrated H2SO4 heated 0.5 h. on the steam bath, the deep red solution diluted with 150 cc. H2O, cooled in ice, treated with stirring with 14 cc. concentrated HNO3, the mixture heated 10 min. on the steam bath, diluted with an equal volume of H2O, cooled in ice, and the yellow precipitate filtered, washed

with H2O, and recrystd. from EtOH gave 26.4 g. 3-nitro derivative (IV) of I, yellow needles, m. 118-19°. II nitrated in the same manner yielded 60% 1-nitro derivative (V) of II, yellow needles, m. 104-5° (from EtOH). IV (10.4 g.) in 200 cc. absolute EtOH hydrogenated 10 min. at room temperature and 50 lb. pressure over 0.1 g. PtO2, the mixture filtered, the filtrate diluted with 4 vols. H2O, and the precipitate filtered off, dried (8.5 g.), and recrystd. from ligroine gave the 3-amino derivative (VI) of I, m. 144-5°. V hydrogenated in the same manner yielded 71% 1-amino derivative (VII) of II, m. 95-6° (from aqueous EtOH). VI (8.1 g.) and 25 cc. 98% HCO2H refluxed 1 h., the excess HCO2H and H2O distilled off, the residue heated 4 h. at 140-50°, the cooled solid extracted with two 50-cc. portions of Me3CCH2CHMe2, the extract cooled in ice, and the white crystalline deposit (5.7 g.) recrystd. from EtOH gave 5,6,7,8-tetrahydro-9-methylnaphth[2,3]oxazole (VIII), colorless crystals, m. 94-5°. VII gave similarly 60% 6,7,8,9-tetrahydro-4-propylnaphth[1,2]oxazole (IX), colorless oil, b0.1 99-101°. NH2OH.HCl (1.3 g.) and 3.4 g. VIII added to 0.8 g. NaOH in 25 cc. H2O and 30 cc. EtOH, the mixture refluxed 0.5 h., diluted with an equal volume of H2O, cooled in ice, and the cream-colored solid deposit filtered, dried (2.6 g.), and recrystd. from EtOH-C6H6 gave the 2-NH2 derivative of VIII.H2O, m. 159-60°. IX gave similarly 64% 2-NH2 derivative of IX, m. 174-5° (from ligroine).

IT 412014-25-6P, Piperidine, 1-[(5,6,7,8-tetrahydro-3-hydroxy-4-methyl-2-naphthyl)-methyl]-
RL: PREP (Preparation)
(preparation of)

RN 412014-25-6 CAPLUS

CN 2-Naphthalenol, 5,6,7,8-tetrahydro-1-methyl-3-(1-piperidinylmethyl)- (CA INDEX NAME)

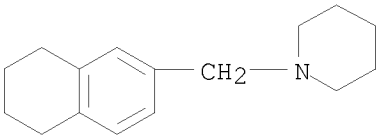


OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

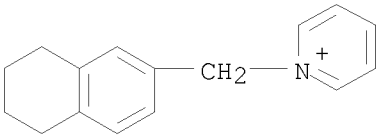
L4 ANSWER 19 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1956:40401 CAPLUS
DOCUMENT NUMBER: 50:40401
ORIGINAL REFERENCE NO.: 50:7803c-f
TITLE: Chloromethylation of tetralin
AUTHOR(S): Vanags, G.; Gudriniece, E.
SOURCE: Latvijas PSR Zinatnu Akademijas Vestis (1955), (No. 5 (Whole No. 94)), 119-24
CODEN: LZAVAL; ISSN: 0132-6422
DOCUMENT TYPE: Journal
LANGUAGE: Russian

AB Tetralin (66 mg.), 28 g. $(CH_2O)_n$, 65 ml. glacial AcOH, 33 g. crystalline H_3PO_4, and 91 ml. concentration HCl at 85-90° stirred 4 hrs. gave 66% 1,2,3,4-tetrahydro-6-chloromethylnaphthalene (I). With excess II, 10% 5,8-bis(chloromethyl)-1,2,3,4-tetrahydronaphthalene was obtained in addition to I. The 6-piperidinomethyl analog (II of I) was prepared by treating I in Et_2O with piperidine at room temperature II decomposed on distillation Bubbling dry HCl through II in Et_2O gave II.HCl, very hygroscopic. II picrate, m. 150°. 1-(1,2,3,4-Tetrahydro-6-naphthylmethyl)pyridinium chloride, m. 115°, was prepared (88.5% yield) from 7.2 g. I, 20 ml. absolute Et_2O, and dry pyridine. $H_2NC(SR):NH.HCl$ (R = 1,2,3,4-tetrahydro-6-naphthylmethyl), m. 212°, was prepared (96% yield) by heating 7.2 g. I with 6 g. thiourea. RCO_2H was prepared (42% yield) refluxing crude I with KCN in H_2O, and hydrolyzing the nitrile with aqueous NaOH; the hydrolysis was aided, and formation of resinous products was minimized by adding small amts. of 3% H_2O_2 at intervals. RCONHPh, m. 112°, was obtained by method similar to that described (C.A. 50, 271f).

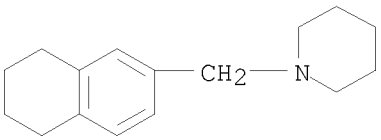
IT 860227-77-6, Piperidine, 1-[(5,6,7,8-tetrahydro-2-naphthyl)methyl]- (and derivs.)
RN 860227-77-6 CAPLUS
CN Piperidine, 1-[(5,6,7,8-tetrahydro-2-naphthalenyl)methyl]- (CA INDEX NAME)



IT 857435-57-5P, Pyridinium, 1-[(5,6,7,8-tetrahydro-2-naphthyl)methyl]-, chloride
RL: PREP (Preparation)
(preparation of)
RN 857435-57-5 CAPLUS
CN Pyridinium, 1-[(5,6,7,8-tetrahydro-2-naphthalenyl)methyl]-, chloride (1:1) (CA INDEX NAME)



L4 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1950:10095 CAPLUS
DOCUMENT NUMBER: 44:10095
ORIGINAL REFERENCE NO.: 44:1979i,1980a-b
TITLE: Piperidylmethyl compounds with oxytocic action
AUTHOR(S): Schindler, O.; Voegtli, W.
SOURCE: Pharmaceutica Acta Helvetiae (1949), 24, 207-16
CODEN: PAHEAA; ISSN: 0031-6865
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB Procedures for preparing the following compds. are given: 2-(1-piperidylmethyl)-5,6,7,8-tetrahydronaphthalene, 2-(1-piperidylmethyl)-1-chlorocyclohexane, 1-(1-piperidylmethyl)cyclohexene, 2-(1-piperidylmethyl)-1-chlorocyclopentane, and 1-(1-piperidylmethyl)cyclopentene. These compds. appear to have about 0.1 the activity of methylergobasine when tested on the uterus of the guinea pig. 22 references.
IT 860227-77-6, Piperidine, 1-[(5,6,7,8-tetrahydro-2-naphthyl)methyl]- (and derivs.)
RN 860227-77-6 CAPLUS
CN Piperidine, 1-[(5,6,7,8-tetrahydro-2-naphthalenyl)methyl]- (CA INDEX NAME)



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L2               0 S L1 SSS
L3             190 S L1 FULL

     FILE 'CAPLUS' ENTERED AT 17:56:37 ON 23 NOV 2009
L4              20 S L3 FULL

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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
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FULL ESTIMATED COST                                   125.30     311.88

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                    -16.40     -16.40

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